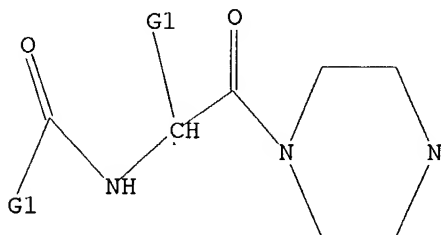


Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	4818	544/360, 544/363, 544/367, 544/364, 544/370, 544/373, 544/374, 514/252.14, 514/253.05, 514/253.06, 514/253.09, 514/253.1, 514/254.02, 514/254.05, 514/254.03, 514/254.09, 514/254.1	USPAT	OR	OFF	2004/11/23 14:49
L2	28625	protease	USPAT	OR	OFF	2004/11/23 14:49
L3	301	l1 and l2	USPAT	OR	OFF	2004/11/23 14:49

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:47:49 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 962 TO ITERATE

100.0% PROCESSED 962 ITERATIONS

12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 17380 TO 21100

PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 12:47:55 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 18672 TO ITERATE

100.0% PROCESSED 18672 ITERATIONS

312 ANSWERS

SEARCH TIME: 00.00.02

L3 312 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

FILE 'CAPLUS' ENTERED AT 12:48:04 ON 23 NOV 2004

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 23 Nov 2004 VOL 141 ISS 22
FILE LAST UPDATED: 22 Nov 2004 (20041122/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

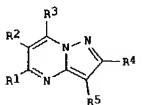
=> s l3

L4 16 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:875291 CAPLUS
 DOCUMENT NUMBER: 139:350751
 TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives as NAD(P)H oxidase inhibitors
 INVENTOR(S): Sano, Kaoru; Mishii, Koichi; Matsuo, Yoshiyuki; Fujishita, Toshio
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 240 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091256	A1	200311106	WO 2003-JP5024	20030418
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			JP 2002-121519	A 20020423
OTHER SOURCE(S):		HARFAT 139:350751		

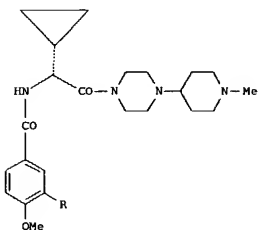


AB Title compds. I (R1, R2, R3, R4, R5 = H, halo, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, etc.) and their pharmaceutically acceptable salts, useful in the prevention of or treatments for diseases relating to NAD(P)H, are prepared. Thus, N-2-cyclohexylphenyl 3-(3-chlorophenyl)pyrazolo[1,5-a]pyrimidin-5-amide was prepared in several steps from Et 7-chloropyrazolo[1,5-a]pyrimidine-5-carboxylate.

IT 619304-05-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazolo[1,5-a]pyrimidine derivs. as NAD(P)H oxidase inhibitors)

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2003:818397 CAPLUS
 DOCUMENT NUMBER: 139:292500
 TITLE: Synthesis of 1-(D-cyclopropylglycyl)-4-(piperidin-4-yl)piperazine compounds and their effectiveness as inhibitors of the serine protease factor Xa for use in the treatment of thrombotic disorders in humans or animals
 INVENTOR(S): Wiley, Michael Robert; Engel, Gary Lowell
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 27 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

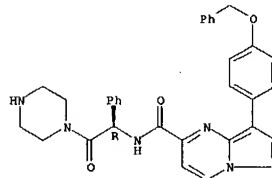
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084929	A1	200310106	WO 2003-US7794	20030324
WO 2003084929	C1	20040521		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 2002-368523P	P 20020401



AB The compds. of formula (I; R = H, F) or pharmaceutically acceptable salts thereof are Factor Xa inhibitors useful in the treatment of thrombotic disorders. Synthesis of the title compds. and their mono- or dihydrochloride, maleate, or fumarate salts, and their efficacy as Factor Xa

Habte

L4 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 RN 619304-05-1 CAPLUS
 CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-(1-piperazinyl)ethyl]-3-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.

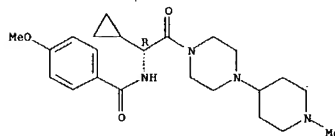


REFERENCE COUNT: 109 THERE ARE 109 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

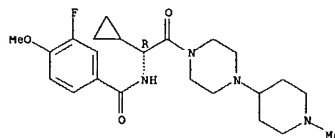
L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 inhibitors, is given. Thus, D-cyclopropylglycine was N-protected with the Boc-group (Boc- = (CH₃)₃CO(O)-), which was then reacted with 1-(1-methylpiperidin-4-yl)piperazine; this intermediate was then N-deprotected to give a trihydrochloride salt, which was condensed with 4-methoxy-benzoyl chloride to give I (R = H), which could be used to prep. the previously mentioned salts. In enzyme inhibition assays using human Factor Xa, title compds. had apparent assocn. consts. (K_{ass}) of ~ 14-35 x 10⁶ L/mol. Title compds. were found to significantly elongate the partial thromboplastin time (no data).

IT 609768-52-7P 609768-53-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of D-cyclopropylglycyl derivs. for use as inhibitors of the serine protease factor Xa in the treatment of thrombotic disorders in humans or animals)

RN 609768-52-7 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)
 Absolute stereochemistry. Rotation (-).



RN 609768-53-8 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-3-fluoro-4-methoxy- (9CI) (CA INDEX NAME)
 Absolute stereochemistry.



IT 609768-54-9P 609768-55-0P 609768-56-1P
 609768-57-2P 609768-58-3P 609768-59-4P
 609768-60-7P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of D-cyclopropylglycyl derivs. for use as inhibitors of the

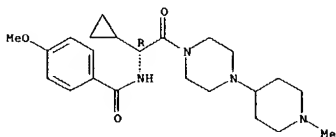
11/23/2004

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)
 serine protease factor Xa in the treatment of thrombotic disorders in humans or animals)
 RN 609768-54-9 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 609768-52-7
 CMF C23 H34 N4 O3

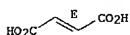
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-17-8
 CMF C4 H4 O4

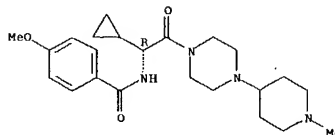
Double bond geometry as shown.



RN 609768-55-0 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, hydrochloride (4:5) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

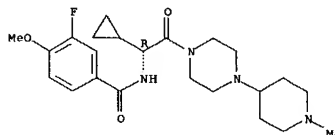
L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)



●5/4 HCl

RN 609768-56-1 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-3-fluoro-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

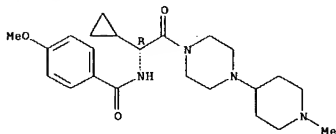
RN 609768-57-2 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 609768-52-7
 CMF C23 H34 N4 O3

Absolute stereochemistry. Rotation (-).

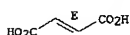
L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

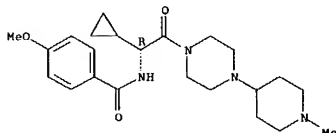


RN 609768-58-3 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 609768-52-7
 CMF C23 H34 N4 O3

Absolute stereochemistry. Rotation (-).

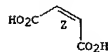


CM 2

CRN 110-16-7
 CMF C4 H4 O4

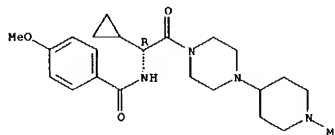
Double bond geometry as shown.

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)



RN 609768-59-4 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



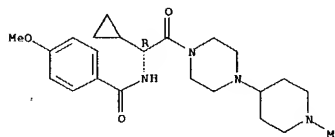
●2 HCl

RN 609768-60-7 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopropyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 609768-52-7
 CMF C23 H34 N4 O3

Absolute stereochemistry. Rotation (-).



CM 2

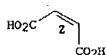
CRN 110-16-7
 CMF C4 H4 O4

11/23/2004

Habte

L4 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)

ACCESSION NUMBER: 2002:964343 CAPLUS
DOCUMENT NUMBER: 138:29109
TITLE: Preparation of crystal forms of antithrombotic piperazine derivative
INVENTOR(S): Engel, Gary Lowell; Diserod, Benjamin Alan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100847	A2	20021219	WO 2002-US16569	20020606
WO 2002100847	A3	20030821		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, CA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1397349	A2	20040317	EP 2002-778933	20020606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534062	T2	20041111	JP 2003-503615	20020606
US 2003216403	A1	20031120	US 2003-296245	20030514
US 2004162295	A1	20040819	US 2003-477192	20031117
PRIORITY APPLN. INFO:				
WO 2001-GB2553 W 20010612				
US 2001-339295P F 20011212				
WO 2000-GB2302 W 20000613				
GB 2000-30304 A 20001213				
WO 2001-GB2566 W 20010612				
WO 2002-US16569 W 20020606				
AB 1-(Indole-6-carbonyl-D-phenylglycyl)-4-(1-methylpiperidin-4-yl)piperazine difumarate forms a stable crystalline salt and is an inhibitor of the serine protease and Factor Xa, useful in the treatment of cardiovascular disorders, especially a thrombotic disorder.				
IT 478279-46-BP				

L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)

RL: PEP (Physical, engineering or chemical process); PRP (Properties); FYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (prepn. of cryst. forms of antithrombotic (indolecarbonyl-phenylglycyl) (methylpiperidinyl)piperazine difumarate)

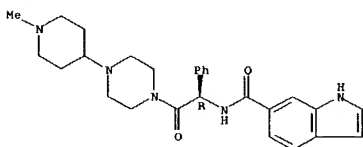
RN 478279-46-8 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-71-3
CMP C27 H33 N5 O2

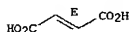
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-17-8
CMP C4 H4 O4

Double bond geometry as shown.



IT 313489-71-3

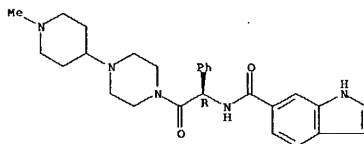
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of crystalline forms of antithrombotic (indolecarbonyl-phenylglycyl) (methylpiperidinyl)piperazine difumarate)

RN 313489-71-3 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

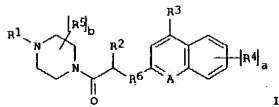
Absolute stereochemistry. Rotation (-).

L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)

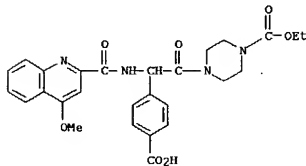


L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN
 ACCESSION NUMBER: 2002:946267 CAPLUS
 DOCUMENT NUMBER: 138:24727
 TITLE: Preparation of 2-[(piperazinocarbonylmethyl)aminocarbo-
 nyl]quinolines as platelet adenosine diphosphate
 receptor antagonists
 INVENTOR(S): Beyer, Judi A.; Buckman, Brad O.; Islam, Imadul;
 Mohan, Raju; Morrissey, Michael M.; Wei, Guo Pin; Xu,
 Wei; Yuang, Shendong
 PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 208 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

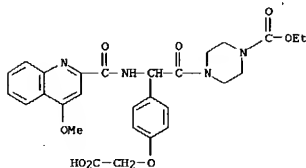
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098856	A2	20021212	WO 2002-US17821	20020606
WO 2002098856	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GW, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003060474	A1	20030327	US 2002-163742	20020605
EP 1412349	A2	20040428	EP 2002-746471	20020606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004532886	T2	20041028	JP 2003-501845	20020606
PRIORITY APPLN. INFO.: US 2001-296498P P 20010606				
US 2002-163742 A 20020605				
WO 2002-US17821 W 20020606				
OTHER SOURCE(S): MARPAT 138:24727				
GI				



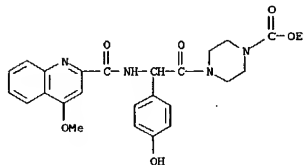
L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 478007-14-6 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[4-(carboxymethoxy)phenyl][[(4-methoxy-2-quinolinyl)carbonyl]amino]acetyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
 AB The title compds. [I: a, b = 1-4; A = CH, N; R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, OH, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = H, alkyl, hydroxyalkyl, etc.; R6 = NR7O, CONR7; R7 = H, alkyl, carbonylalkyl, alkoxyalkyl, etc.; R8 = H, alkyl, aryl, etc.] are useful as inhibitors of platelet aggregation and thrombus formation, were prepared and formulated. Thus, amidation of 7-methyl-4-hydroxy-2-carboxyquinoline with 4-ethoxycarbonyl-1-[1-amino-3-(1,1-dimethylethoxycarbonyl)propyl]piperazine (preparation of both reactants given) afforded 68% I [R1 = CO2Et; R2 = tert-BuOCOCH2CH2; R3 = OH; R4 = 7-Me; R5 = H; R6 = NHCO; A = N]. The compds. I demonstrated their ability to inhibit the binding of [33P]-2-methylthio-ADP binding to the human platelet ADP receptor and the rat platelet ADP receptor.
 IT 478003-61-1P 478007-13-5P 478007-14-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-[(piperazinocarbonylmethyl)aminocarbo-
 nyl]quinolines as platelet ADP receptor antagonists)
 RN 478003-61-1 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[4-(4-methoxy-2-quinolinyl)carbonyl]amino]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



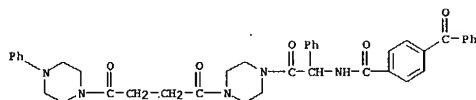
RN 478007-13-5 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[4-(4-carboxyphenyl)[[(4-methoxy-2-quinolinyl)carbonyl]amino]acetyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN
 ACCESSION NUMBER: 2002:814268 CAPLUS
 DOCUMENT NUMBER: 137:333140
 TITLE: Guanylylhydrazine inhibitors of protein production from AU-rich element-containing mRNAs, their synthesis and use in therapy
 INVENTOR(S): Giordano, Tony; Sturgess, Michael A.
 PATENT ASSIGNEE(S): Message Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 147 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

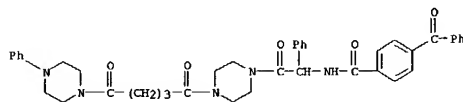
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083842	A2	20021024	WO 2002-US10898	20020408
WO 2002083842	A3	20030501		
WO 2002083842	C2	20040429		
W: AU, CA, CH, DE, DK, ES, GB, JP, NO, SE, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2003199453	A1	20031023	US 2002-117955	20020408
PRIORITY APPLN. INFO.: US 2001-282974P P 20010410				
OTHER SOURCE(S): MARPAT 137:333140				

AB The invention features guanylylhydrazine compds.
 RIC(X)G6H4CONHCH(CH2R2)CON(R3)(CH2)mN(R4)COCH(CH2R5)NHCOC6H4C(R6):NNHC(:N)HNH2 (I: R1, R6 = alkyl, aryl; R2, R5 = H, alkyl, aryl; R3, R4 = H, alkyl; X = O, H2N(HN):CNHN; m ≥ 2) that inhibit secretion of a protein encoded by an AU-rich element-containing (ARE)-mRNA or that modulate regulation of an ARE-mRNA. I are useful for the treatment or prevention of conditions involving proteins encoded by ARE-mRNAs, such as tumor necrosis factor α, interleukins, interferons, and cyclooxygenases 1 and 2. Such conditions include inflammation, arthritis, autoimmune diseases, septic shock, blood clotting, and stroke. Thus, compds. were tested in a high-throughput, macrophage-based luciferase reporter assay. Mouse 264.7 cells transfected with an expression vector containing the luciferase gene flanked by CMV promoter and tumor necrosis factor α 3'-UTR were used. Compds. identified in this assay were tested for their ability to inhibit tumor necrosis factor α secretion.
 IT 473913-71-2P, MES 10229 473913-73-4P, MES 10246
 473913-75-6P, MES 10253 473913-76-7P, MES 10255
 473913-82-5P, MES 10301
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (guanylylhydrazine inhibitors of protein production from AU-rich element-containing mRNAs, their synthesis and use in therapy)
 RN 473913-71-2 CAPLUS
 CN Benzamide, 4-benzoyl-N-[2-[4-[1,4-dioxo-4-(4-phenyl-1-piperazinyl)butyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

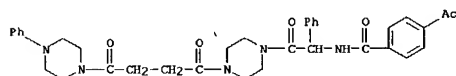
L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



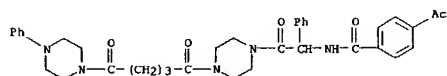
RN 473913-73-4 CAPLUS
CN Benzamide, 4-benzoyl-N-[2-[4-[1,5-dioxo-5-(4-phenyl-1-piperazinyl)pentyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 473913-75-6 CAPLUS
CN Benzamide, 4-acetyl-N-[2-[4-[1,4-dioxo-4-(4-phenyl-1-piperazinyl)butyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 473913-76-7 CAPLUS
CN Benzamide, 4-acetyl-N-[2-[4-[1,5-dioxo-5-(4-phenyl-1-piperazinyl)pentyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



RN 473913-82-5 CAPLUS
CN Benzamide, 4-benzoyl-N-[2-{4-[(4-methyl-1-piperazinyl)-1,4-dioxobutyl]-1-piperazinyl]-2-oxo-1-phenylethyl}- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

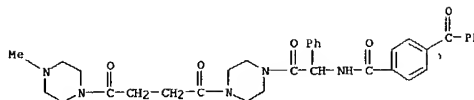
ACCESSION NUMBER: 2002:354079 CAPLUS
DOCUMENT NUMBER: 136:355487
TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors
INVENTOR(S): Liebschuetz, John Walter; Wyllie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John
PATENT ASSIGNEE(S): Tularik Ltd., UK
SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 495,678.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002055522	A1	20020509	US 2001-988082	20011119
US 6740682	B2	20040526		
WO 9911658	A1	19990311	WO 1998-GB2605	19980828
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, SM, ST, SW, SY, TA, TH, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ZM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 2000077027	A2	20001221	WO 2000-GB2291	20000613
WO 2000077027	A3	20010525		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZW, ZM, AM, AZ, BY, KG, KZ, LU, SZ, TJ, TM				
RW: GH, GM, KE, LS, MW, KZ, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003216403	A1	20031120	US 2003-296248	20030514
US 2004143018	A1	20040722	US 2004-752668	20040108
PRIORITY APPLN. INFO.:			GB 1997-18392	A 19970829
			GB 1998-3173	A 1980213
			WO 1998-GB2605	W 1980828
			US 1999-13823	A 19990614
			US 1999-142064P	P 19990702
			US 2000-485678	A2 20000225
			WO 2000-GB2291	A2 20000613
			GB 1999-18741	A 19990809
			GB 1999-29552	A 19991214
			GB 1999-29553	A 19991214
			WO 2001-GB2566	W 20010612
			US 2001-988082	A1 20011119

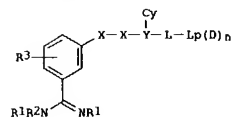
OTHER SOURCE(S) : MARPAT 136:355487
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L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



AB Title compds. I [R1, R2 = H, OH, alkoxyl, alkaryl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkoxycarbonyl, acyloxyalkoxyalkoxycarbonyl or alkylamino optionally substituted by hydroxyl, alkylamino, alkoxyl, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfonyl, alkylsulfonylamido, alkylsulfonylsulfonyl, haloalkoxyl, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2], with the proviso that if the benzamide linker group is unsubstituted and the X-X group is -C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = organic linker containing 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)saturated, (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by alkyl, halo, cyano, nitro, thio, amino, hydroxyl or by R3; D = H bond donor groups; n = 0-2), or corresponding compds. in which the (un)substituted amidino group R1R2NC(=NR1) is replaced with an (un)substituted aminomethyl group, or their physiol. tolerable salts were prepared as serine protease inhibitors useful as antithrombotic agents. 3-Aminido- and 3-(aminomethyl)benzoyl-D-phenylglycine 4-aminomethylcyclohexylmethanamide are among 190 compds. synthesized.

IT 212137-68-9P 212137-74-7P 212137-81-6P
212137-90-7P 212137-90-5P 212138-66-8P
212140-62-6P 212140-91-1P 212140-97-7P
212141-03-6P 212141-09-4P 212141-14-1P
212141-19-6P 212141-24-3P 212141-29-6P
212142-18-8P 212142-26-8P 212142-30-4P
212142-34-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of meta-benzamide derivs. of amino acids or dipeptides as serine protease inhibitors)

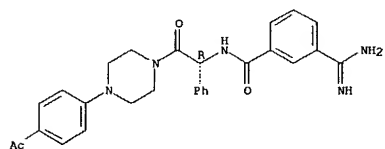
RN 212137-68-9 CAPUUS

CN Benzamide, N-[[1(R)-2'-(4-{(4-acetylphenyl)-1-piperazinyl}-2-oxo-1-phenylethyl)-3-(4-aminomethylphenyl)]-(SC1) (CAX INDEX NAME)

Absolute stereochemistry.

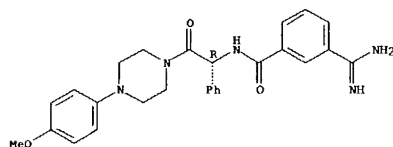
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L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



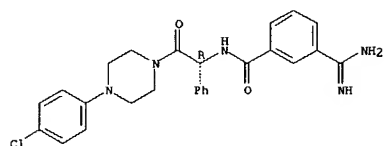
RN 221237-74-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221237-81-6 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

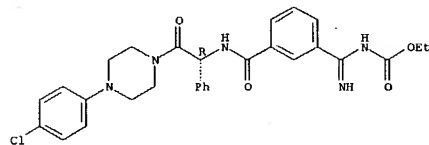
Absolute stereochemistry.



RN 221237-90-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

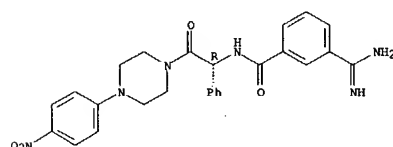
Absolute stereochemistry.

L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



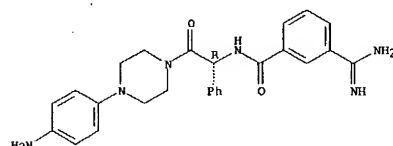
RN 221240-91-1 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-nitrophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221240-97-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-aminophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

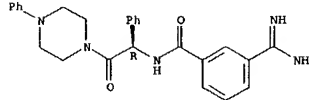


RN 221241-03-8 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

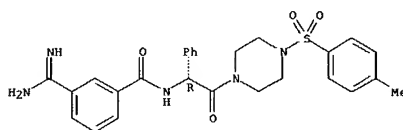
Habte

L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



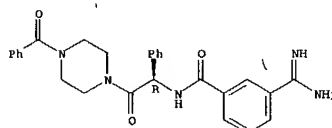
RN 221237-98-5 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221238-06-8 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-(4-benzoyl-1-piperazinyl)-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

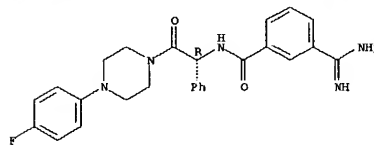
Absolute stereochemistry.



RN 221240-62-6 CAPLUS
 CN Carbamic acid, [[3-[[[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

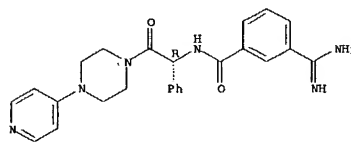
Absolute stereochemistry.

L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



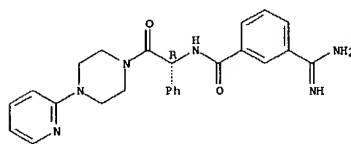
RN 221241-09-4 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-14-1 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

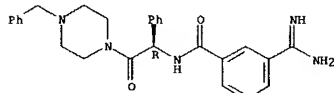


RN 221241-19-6 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

11/23/2004

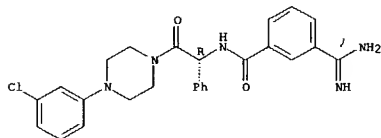
L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 221241-24-3 CAPLUS

CN Benzamide, 3-[(1R)-2-[(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

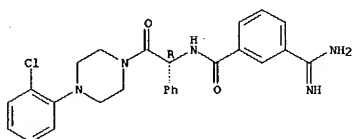
Absolute stereochemistry.



RN 221241-29-8 CAPLUS

CN Benzamide, 3-[(1R)-2-[(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

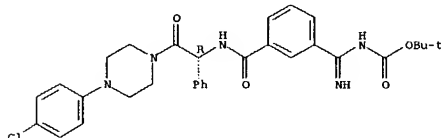


RN 221242-18-8 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [[[[[3-[[[(1R)-2-[(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]amino]carbonyl]oxy]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

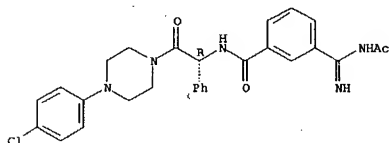
L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 221242-34-8 CAPLUS

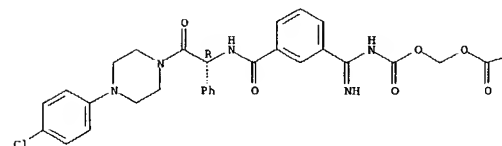
CN Benzamide, 3-[(1R)-2-[(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

PAGE 1-A



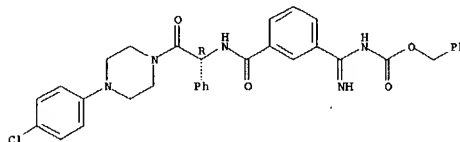
PAGE 1-B

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RN 221242-26-8 CAPLUS

CN Carbamic acid, [[3-[[[(1R)-2-[(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221242-30-4 CAPLUS

CN Carbamic acid, [[3-[[[(1R)-2-[(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:123665 CAPLUS

DOCUMENT NUMBER: 136:303572

TITLE: PROSECT: Combining Structure-Based Drug Design and Array-Based Chemistry for Rapid Lead Discovery. 2. The Development of a Series of Highly Potent and Selective Factor Xa Inhibitors

AUTHOR(S): Liebeschuetz, John W.; Jones, Stuart D.; Morgan, Phillip J.; Murray, Chris W.; Rimmer, Andrew D.; Roscoe, Jonathan M. E.; Waszkowycz, Bohdan; Welsh, Pauline M.; Wylie, William A.; Young, Stephen C.; Martin, Harry; Mahler, Jacqui; Brady, Leo; Wilkinson, Kay

CORPORATE SOURCE: Protherics Molecular Design, Macclesfield, SK11 0JL, UK

SOURCE: Journal of Medicinal Chemistry (2002), 45(6), 1221-1232

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In silico screening of combinatorial libraries prior to synthesis promises to be a valuable aid to lead discovery. PROSECT, a tool for the virtual screening of libraries for fit to a protein active site, has been used to find novel leads against the serine protease factor Xa. A small seed template was built upon using three iterations of library design, virtual screening, synthesis, and biol. testing. Highly potent moles. with selectivity for factor Xa over other serine proteases were rapidly obtained.

IT 410527-83-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Combining structure-based drug design and array-based chemical for development of a series of potent and selective factor Xa inhibitors)

RN 410527-83-2 CAPLUS

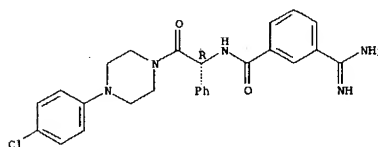
CN Benzamide, 3-[(1R)-2-[(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 221237-81-6

CHF C26 H26 Cl N5 O2

Absolute stereochemistry.



L4 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN
ACCESSION NUMBER: 2001:923784 CAPLUS

DOCUMENT NUMBER: 136:54020

TITLE: Preparation of amino acid derivatives as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Murray, Christopher; Williams Young, Stephen; Clinton, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert; Mayer, Michael John; Eli Lilly and Company, USA

PATENT ASSIGNEE(S): FCT Int. Appl., 191 pp.

SOURCE: Patent
CODEN: PIXX02

DOCUMENT TYPE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
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CA 2411805	AA	20011220	CA 2001-2411805	20010612
EP 1289972	A1	20030312	EP 2001-936686	20010612
EP 1289972	B1	20040908		
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BR 2001011451	A	20030624	BR 2001-11451	20010612
JP 2004503547	T2	2002-510466	JP 2002-510466	20010612
NZ 521896	A	20040730	NZ 2001-521896	20010612
AT 275554	E	20040915	AT 2001-936686	20010612
US 2003055246	A1	20030320	US 2002-30187	20020204
WO 2002100847	A2	20021219	WO 2002-0516569	20020606
WO 2002100847	A3	20030821		
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L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1397348 A2 20040317 EP 2002-778933 20020606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004534062 T2 20041111 JP 2003-503615 20020606
NO 2002005665 A 20021125 NO 2002-5665 20021125
US 2003216403 A1 20031120 US 2003-296245 20030514
US 2004162295 A1 20040819 US 2003-477192 20031117
US 2004142963 A1 20040722 US 2004-754923 20040112
US 2004176363 A1 20040909 US 2004-803157 20040318

PRIORITY APPLN. INFO.:
WO 2000-GB2302 W 20000613
GB 2000-30304 A 20001213
GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29553 A 19991214
WO 2001-GB2553 W 20010612
WO 2001-GB2566 W 20010612
US 2001-339295P P 20011212
US 2002-30187 A1 20020204
WO 2002-US16569 W 20020606

OTHER SOURCE(S): MARPAT 136:54020

AB Comps. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X, with the proviso that R2 can not be aminoisoquinolyl; X is a C, N, O or S atom or a CO, CRia, C(Ria)2 or NRia group (at least one X is C, CO, CRia or C(Ria)2), where Ria represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxybenzyl, alkylaminocarbonyl, alkoxybenzylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CRib group (Rib defined as for Ria); Cy is an (un)substituted, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n

is 4-substituted 1-piperazinecarboxyl or their physiol.-tolerable salts were prepared for use as serine protease inhibitors. Comps. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(4-methoxybenzoyl-D-phenylglycine)-4-phenethylpiperazine was prepared in the first of 82 examples.

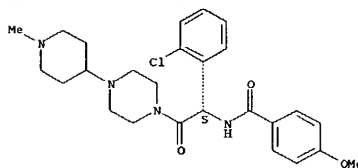
IT 381722-41-4P 381722-57-2P
R1: BYP (Byproduct); PREP (Preparation)

(preparation of amino acid derivs. as serine protease inhibitors)

RN 381722-41-4 CAPLUS
CN Benzamide, N-[(1S)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

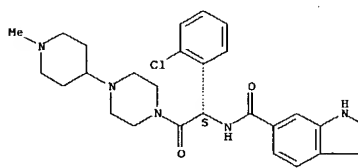
Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 381722-57-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1S)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



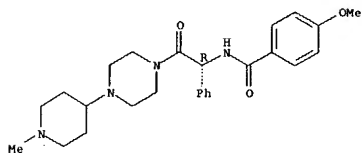
IT 313489-70-2P 313489-71-3P 381720-62-3P
381720-64-5P 381721-10-4P 381721-12-6P
381721-27-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313489-70-2 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

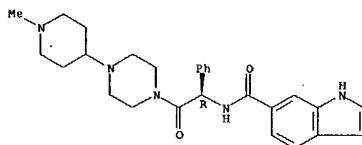
Absolute stereochemistry. Rotation (-).

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



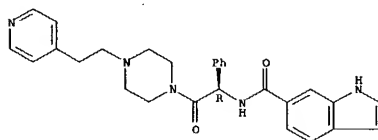
RN 313489-71-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(1-methyl-4-piperidiny)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 381720-62-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

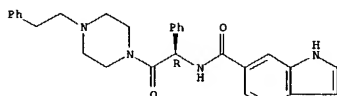


RN 381720-64-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



IT 313488-33-4P 313489-20-2P 313489-22-4P
 313489-25-7P 313489-58-6P 313489-59-7P
 313489-60-0P 313489-61-1P 313489-62-2P
 313489-63-3P 313489-64-4P 313489-65-5P
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 381722-62-9P

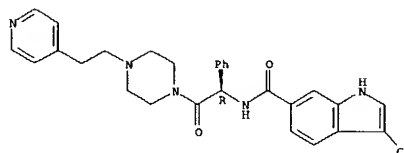
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid deriva. as serine protease inhibitors)

RN 313488-33-4 CAPLUS
 CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidiny)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

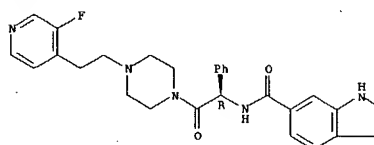
Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



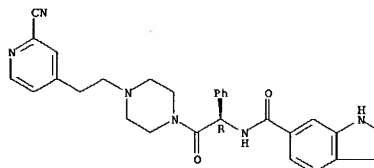
RN 381721-10-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(3-fluoro-4-pyridinyl)ethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



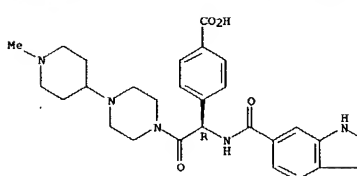
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 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(2-cyano-4-pyridinyl)ethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381721-27-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

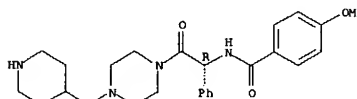


RN 313489-20-2 CAPLUS
 CN Benzoic acid, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CH 1

CRN 313489-19-9
 CHF C26 H34 N4 O3

Absolute stereochemistry.



CH 2

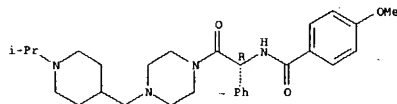
CRN 76-05-1
 CHF C2 H F3 O2



RN 313489-22-4 CAPLUS
 CN Benzoic acid, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

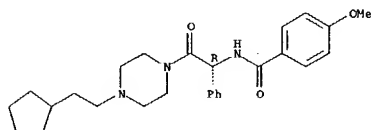
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 3 HCl

RN 313489-25-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

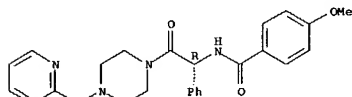
Absolute stereochemistry.



● HCl

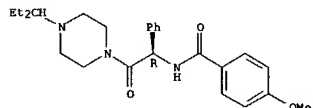
RN 313489-59-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



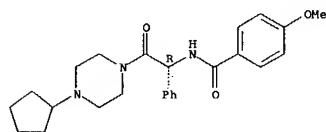
RN 313489-65-5 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



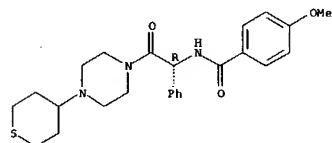
RN 313489-63-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclopentyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-64-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(tetrahydro-2H-thiopyran-4-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

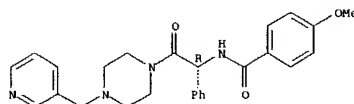


RN 313489-65-5 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

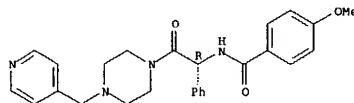
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



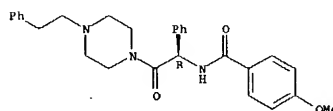
RN 313489-60-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-61-1 CAPLUS
 CN Benzamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

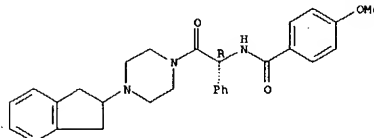
Absolute stereochemistry.



RN 313489-62-2 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

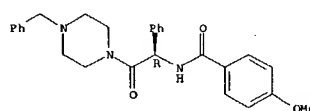
Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



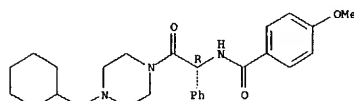
RN 313489-66-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-67-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

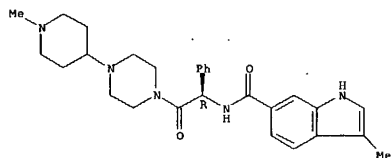
Absolute stereochemistry.



RN 313489-72-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

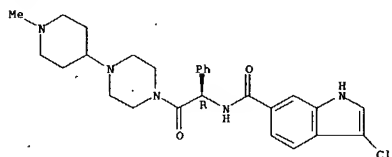
Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



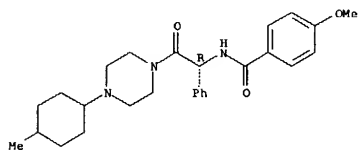
RN 313489-73-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313690-67-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(4-methylcyclohexyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

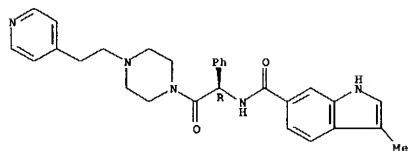


RN 381720-59-8 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

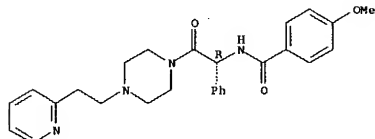
RN 381720-69-0 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



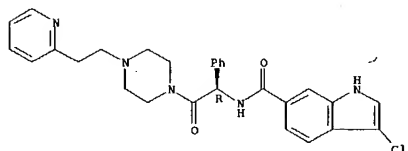
RN 381720-71-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-73-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

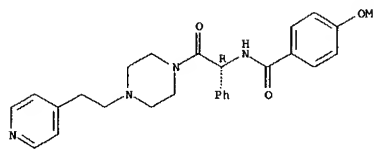


RN 381720-75-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

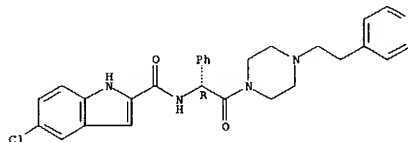
Absolute stereochemistry.



●2 HCl

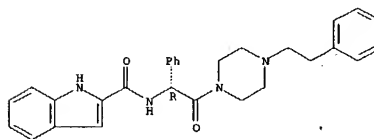
RN 381720-66-7 CAPLUS
 CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-68-9 CAPLUS
 CN 1H-Indole-2-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

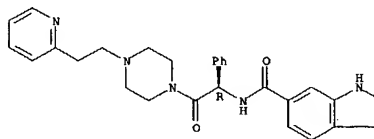
Absolute stereochemistry.



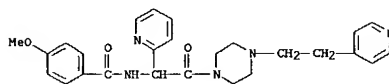
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 381720-77-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

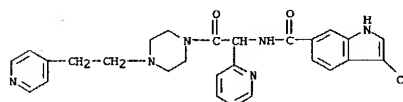


RN 381720-77-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 381720-79-2 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

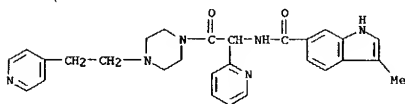


●3 HCl

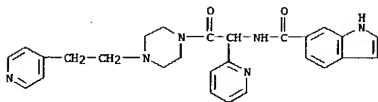
RN 381720-80-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

11/23/2004

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

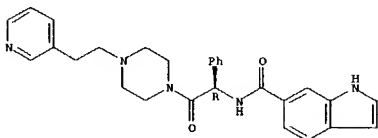


RN 381720-81-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-(2-pyridinyl)-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 381720-82-7 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(3-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

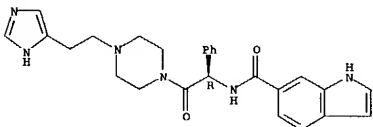
Absolute stereochemistry.



RN 381720-83-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-pyrazol-1-yl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

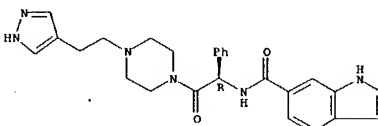
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 2 HCl

RN 381720-87-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-pyrazol-4-yl)ethyl)-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

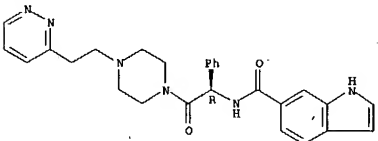
Absolute stereochemistry.



● R HCl

RN 381720-88-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(3-pyridazinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

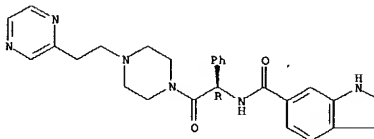
Absolute stereochemistry. Rotation (-).



RN 381720-89-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

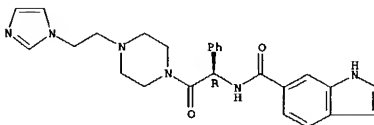
Habte

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



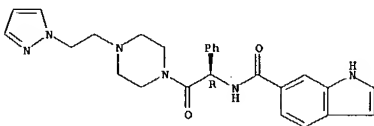
RN 381720-84-9 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-imidazol-1-yl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-85-0 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-pyrazol-1-yl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

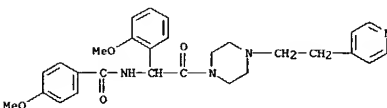
Absolute stereochemistry.



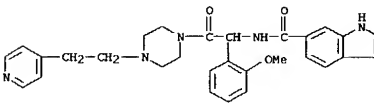
RN 381720-86-1 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(1H-imidazol-4-yl)ethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



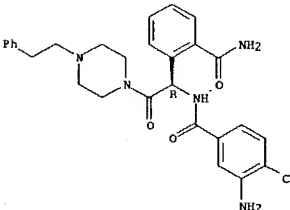
RN 381720-90-7 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 381720-91-8 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[2-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

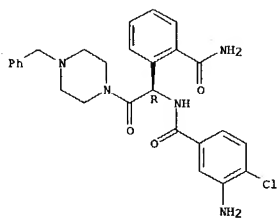


RN 381720-92-9 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[2-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

11/23/2004

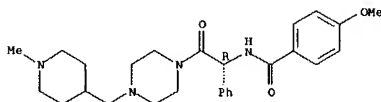
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



RN 381720-93-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



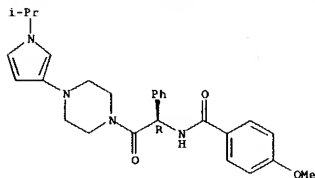
RN 381720-95-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[(1H-pyrrol-3-yl)-1-piperazinyl]ethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 381720-94-1
 CMF C24 H26 N4 O3

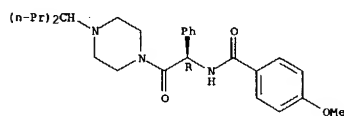
Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



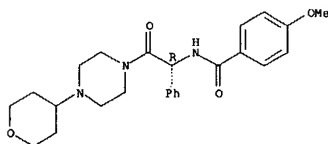
RN 381720-98-5 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[(1-propylbutyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381720-99-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[(tetrahydro-2H-pyran-4-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

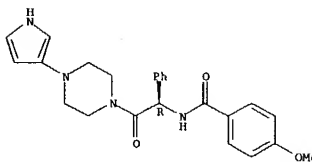
Absolute stereochemistry.



RN 381721-00-2 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



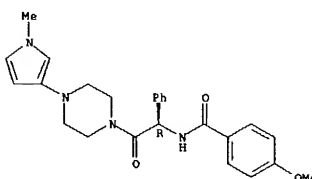
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 381720-96-3 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methyl-1H-pyrrol-3-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

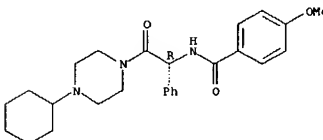
Absolute stereochemistry.



RN 381720-97-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methylethyl)-1H-pyrrol-3-yl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

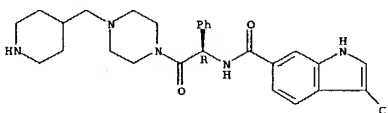
Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 381721-01-3 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

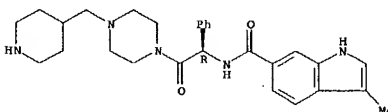
Absolute stereochemistry.



● 2 HCl

RN 381721-02-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-oxo-1-phenyl-2-[4-[(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



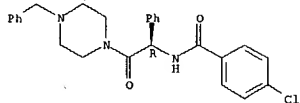
● 2 HCl

RN 381721-04-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CM 1

CRN 381721-03-5
CMF C26 H26 Cl N3 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

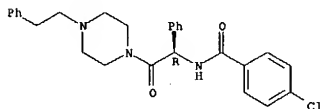


RN 381721-06-8 CAPLUS
CN Benzamide, 4-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

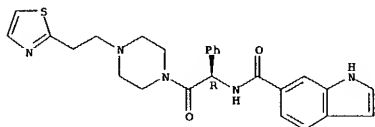
CRN 381721-05-7
CMF C27 H28 Cl N3 O2

Absolute stereochemistry.



CM 2

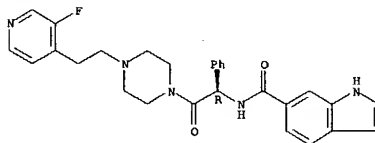
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



•x HCl

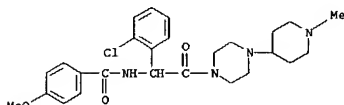
RN 381721-11-5 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(2-(3-fluoro-4-pyridinyl)ethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



•x HCl

RN 381721-13-7 CAPLUS
CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



•x HCl

Habte

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CRN 76-05-1
CMF C2 H F3 O2

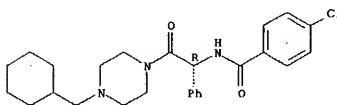


RN 381721-08-0 CAPLUS
CN Benzamide, 4-chloro-N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 381721-07-9
CMF C26 H32 Cl N3 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

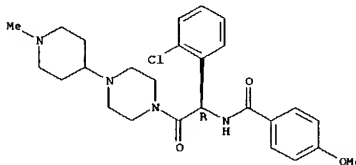


RN 381721-09-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(2-thiazolyl)ethyl)-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

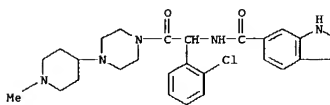
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 381721-14-8 CAPLUS
CN Benzamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



•x HCl

RN 381721-15-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



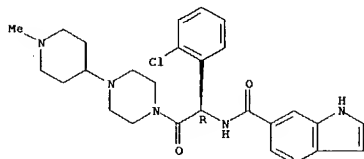
•2 HCl

RN 381721-16-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

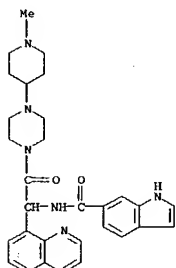
11/23/2004

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 2 HCl

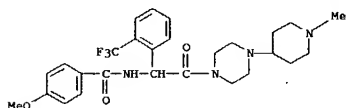
RN 381721-17-1 CAPLUS
 CN 1H-indole-6-carboxamide, N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(8-quinolinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 381721-18-2 CAPLUS
 CN 1H-indole-6-carboxamide, 3-chloro-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(8-quinolinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

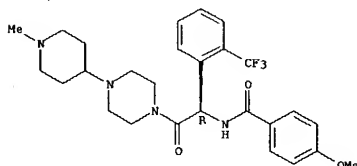
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● x HCl

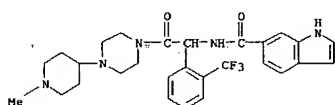
RN 381721-21-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

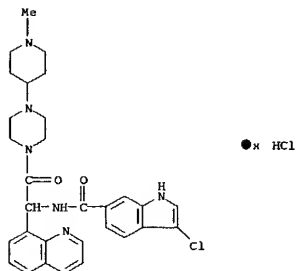
RN 381721-22-8 CAPLUS
 CN 1H-indole-6-carboxamide, N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

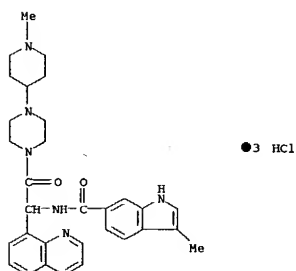
Habte

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● x HCl

RN 381721-19-3 CAPLUS
 CN 1H-indole-6-carboxamide, 3-methyl-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(8-quinolinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)



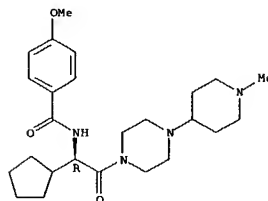
● 3 HCl

RN 381721-20-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 381721-23-9 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclopentyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

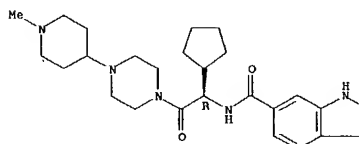
Absolute stereochemistry.



● 2 HCl

RN 381721-24-0 CAPLUS
 CN 1H-indole-6-carboxamide, N-[(1R)-1-cyclopentyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



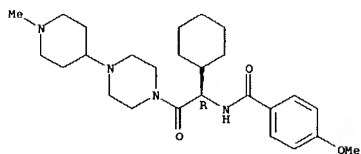
● 2 HCl

RN 381721-25-1 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclohexyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

11/23/2004

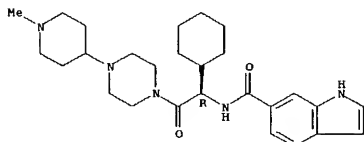
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 2 HCl

RN 381721-26-2 CAPLUS
 CN Benzamide, N-[(1R)-1-cyclohexyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

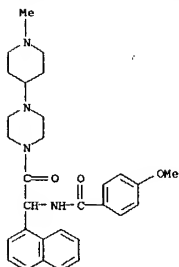
Absolute stereochemistry.



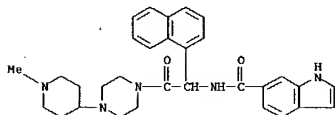
● 2 HCl

RN 381721-28-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(2-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)

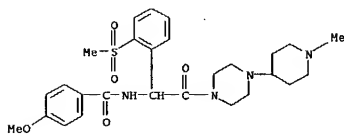
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 381721-31-9 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-1-(1-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 381721-32-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-1-(2-methylsulfonylphenyl)-2-oxoethyl]-, hydrochloride (9CI) (CA INDEX NAME)

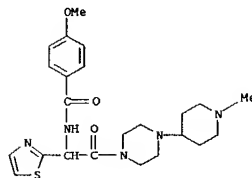


● x HCl

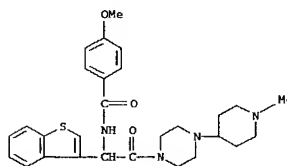
RN 381721-33-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[2-oxo-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]-1-piperazinyl]ethyl]-4-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

Habte

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

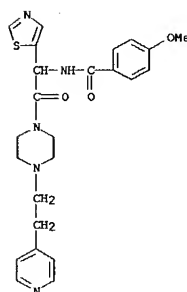


RN 381721-29-5 CAPLUS
 CN Benzamide, N-[1-benzo[b]thien-3-yl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



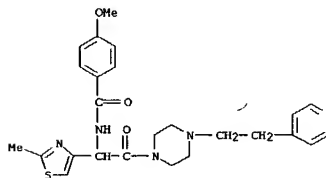
RN 381721-30-8 CAPLUS
 CN Benzamide, 4-methoxy-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-1-(1-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 2 HCl

RN 381721-34-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[1-(2-methyl-4-thiazolyl)-2-oxo-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

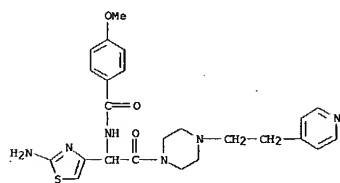


● 2 HCl

RN 381721-35-3 CAPLUS
 CN Benzamide, N-[1-(2-amino-4-thiazolyl)-2-oxo-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-4-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

11/23/2004

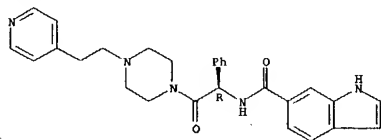
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 2 HCl

RN 381721-36-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

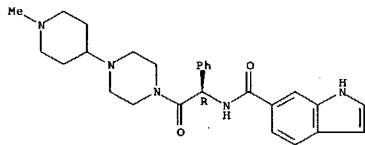


● 2 HCl

RN 381721-37-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(4-pyridinyl)ethyl]-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



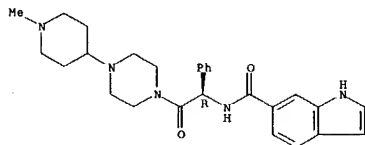
● HCl

RN 381721-40-0 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-71-3
 CMF C27 H33 N5 O2

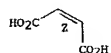
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
 CMF C4 H4 O4

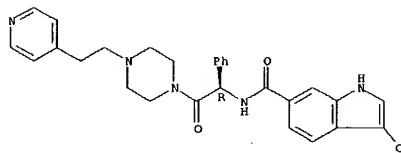
Double bond geometry as shown.



RN 381721-41-1 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-oxo-1-phenyl-2-[4-[2-(2-cyano-4-pyridinyl)ethyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Habe

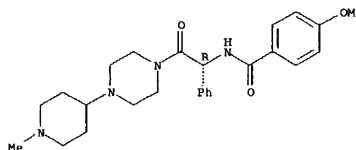
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 2 HCl

RN 381721-38-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



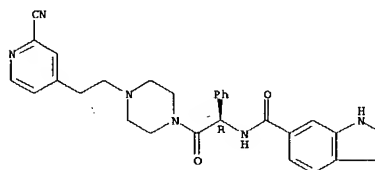
● 2 HCl

RN 381721-39-7 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

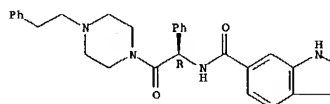
Absolute stereochemistry.



● x HCl

RN 381721-42-2 CAPLUS
 CN Benzamide, N-[1-benzothien-3-yl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

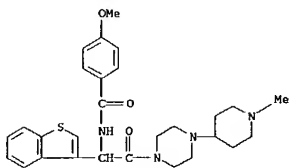


● HCl

RN 381721-43-3 CAPLUS
 CN Benzamide, N-[1-benzothien-3-yl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy-, dihydrochloride (9CI) (CA INDEX NAME)

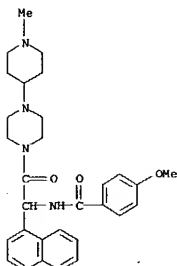
11/23/2004

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● 2 HCl

RN 381721-44-4 CAPLUS
CN Benzamide, 4-methoxy-N-[[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-1-(1-naphthalenyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

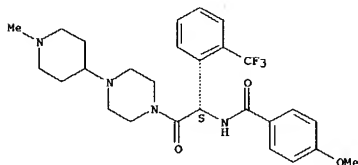
RN 381721-45-5 CAPLUS
CN Benzamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

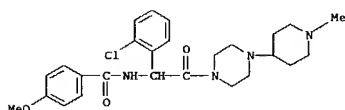
L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 381722-62-9 CAPLUS
CN Benzamide, 4-methoxy-N-[(1S)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

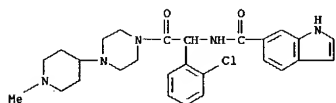
Absolute stereochemistry.



IT 381722-55-0 381722-56-1 381722-58-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid derivs. as serine protease inhibitors)
RN 381722-55-0 CAPLUS
CN Benzamide, N-[[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



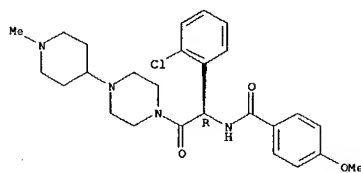
RN 381722-56-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 381722-58-3 CAPLUS
CN Benzamide, 4-methoxy-N-[[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

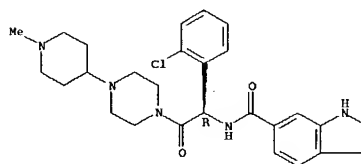
Habte

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



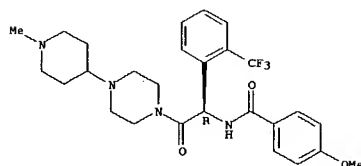
RN 381721-46-6 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

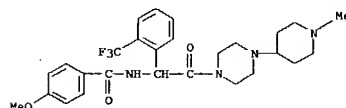


RN 381721-47-7 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

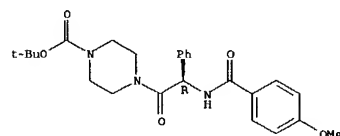


L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT 313490-70-9P 313490-72-1P 313490-73-2P
313490-74-3P 381722-45-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as serine protease inhibitors)
RN 313490-70-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

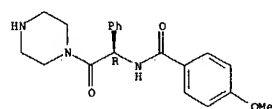


RN 313490-72-1 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(1-piperazinyl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 313490-71-0
CMF C20 H23 N3 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1

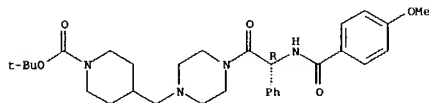
11/23/2004

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CHF C2 H F3 O2



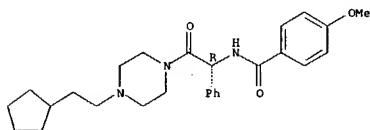
RN 313490-73-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-74-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381722-45-8 CAPLUS
CN 1H-Pyrrole-1-carboxylic acid, 3-[4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl]-1-piperazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:177455 CAPLUS

DOCUMENT NUMBER: 134:353501

TITLE: The design of phenylglycine containing benzamide carboxamides as potent and selective inhibitors of factor Xa

AUTHOR(S): Jones, S. D.; Liebeschuetz, J. W.; Morgan, P. J.; Murray, C. W.; Rimmer, A. D.; Roscoe, J. M. E.; Waszkowycz, B.; Welsh, P. M.; Wylie, W. A.; Young, S. C.; Martin, H.; Mahler, J.; Brady, L.; Wilkinson, K. Protherics Molecular Design, Macclesfield, SK11 0JL, UK

CORPORATE SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(5), 733-736

PUBLISHER: CODEN: BMCLER; ISSN: 0960-894X

DOCUMENT TYPE: Elsevier Science Ltd.

LANGUAGE: Journal

OTHER SOURCE(S): English

CASREACT 134:353501

AB Factor Xa, a critical serine protease in the blood coagulation cascade, has become a target for inhibition as a strategy for the invention of novel anti-thrombotic agents. Here we describe the development of phenylglycine containing benzamide carboxamides as novel, potent and selective inhibitors

of factor Xa. A number of highly focused libraries of compds. have been designed and synthesized giving rapid access to a series of potent and selective inhibitors of factor Xa. Key to the potency of these compds. is the lipophilic interaction between phenylglycine residue and the 'disulfide' pocket comprising Gln192, Cys191, Cys220 and Gly218.

IT 221237-90-7P 221238-06-6P 221241-03-8P

221241-09-4P 221241-19-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

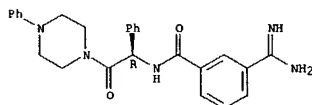
(preparation of libraries of phenylglycine containing benzamide carboxamides

as selective inhibitors of factor Xa)

RN 221237-90-7 CAPLUS

CN Benzamide, 3-(aminoininomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

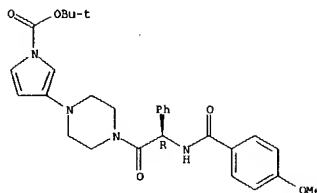


RN 221238-06-6 CAPLUS

CN Benzamide, 3-(aminoininomethyl)-N-[(1R)-2-(4-benzoyl-1-piperazinyl)-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

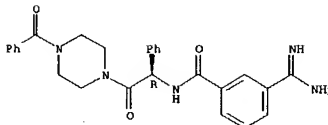


REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

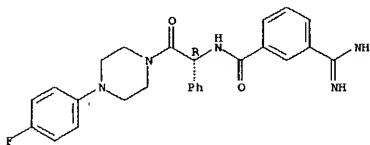
L4 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 221241-03-8 CAPLUS

CN Benzamide, 3-(aminoininomethyl)-N-[(1R)-2-(4-(4-fluorophenyl)-1-piperazinyl)-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

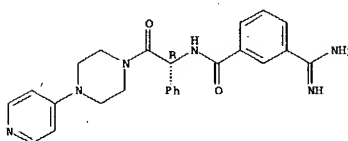
Absolute stereochemistry.



RN 221241-09-4 CAPLUS

CN Benzamide, 3-(aminoininomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-(4-pyridinyl)-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-19-6 CAPLUS

CN Benzamide, 3-(aminoininomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-(phenylmethyl)-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

BJ, CF, CG, CI, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001096323 A1 20011220 WO 2001-GB2553 20010612

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WO 2001096304 A1 20011220 WO 2001-GB2572 20010612

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EP 1289972 A1 20030312 EP 2001-936686 20010612

EP 1289972 B1 20040908

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EP 1289950 A1 20030312 EP 2001-938386 20010612

EP 1289950 B1 20040908

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EP 1289953 A1 20030312 EP 2001-938403 20010612

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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

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WO 2001-GB2553 W 20010612

WO 2001-GB2566 W 20010612

WO 2001-GB2572 W 20010612

US 2002-30187 A1 20020204

OTHER SOURCE(S): MARPAT 134:56958

AB Compds. R2-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkenyl, alkylaminocarbonyl, alkoxyalkenylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic organic group; D is a hydrogen bond donor group; n = 0-2] were prepared for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-[3-amino-2-naphthyl-D-phenylglycyl]-4,4'-bis(piperidine) was prepared and shown to double the prothrombin time at a concentration of 26 μM.

IT 313486-84-9P 313486-85-0P 313486-86-1P 313486-87-2P 313486-88-3P 313486-89-4P 313486-90-7P 313486-91-8P 313486-92-9P 313486-93-0P 313486-94-1P 313486-95-2P 313486-96-3P 313486-97-4P 313486-98-5P 313486-99-6P 313487-00-2P 313487-01-3P 313487-02-4P 313487-03-5P 313487-04-6P 313487-05-7P 313487-06-8P 313487-07-9P 313487-08-0P 313487-09-1P 313487-10-4P 313487-11-5P 313487-12-6P 313487-13-7P 313487-14-8P 313487-15-9P 313487-16-0P 313487-17-1P 313487-18-2P 313487-19-3P 313487-20-6P 313487-21-7P 313487-22-8P 313487-23-9P 313487-24-0P 313487-24-2P 313487-25-3P 313487-26-4P 313487-27-5P 313487-28-6P 313487-29-7P 313487-30-8P 313487-31-9P 313487-32-0P 313487-33-0P 313487-34-1P 313487-35-2P 313487-36-3P 313487-37-4P 313487-38-6P 313487-39-7P 313487-40-0P 313487-41-1P 313487-42-2P 313487-43-3P 313487-44-4P 313487-45-5P 313487-46-6P 313487-47-7P 313487-48-8P 313487-49-9P 313487-50-2P 313487-51-3P 313487-52-4P 313487-53-5P 313487-54-6P 313487-55-7P 313487-56-8P 313487-57-9P 313487-58-0P 313487-59-1P 313487-60-2P 313487-61-3P 313487-62-4P 313487-63-5P 313487-64-6P 313487-65-7P 313487-66-8P 313487-67-9P 313487-68-0P 313487-69-1P 313487-70-2P 313487-71-7P 313487-72-8P 313487-73-9P 313487-80-8P 313487-81-9P 313487-82-0P

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

313487-86-4P 313488-12-9P 313488-13-0P

313488-14-1P 313488-16-3P 313488-18-5P

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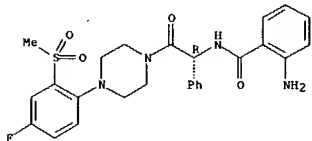
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prep. of amino acid derivs. as serine protease inhibitors)

RN 313486-84-9 CAPLUS

CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

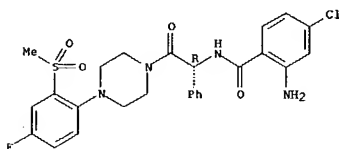
Absolute stereochemistry.



RN 313486-85-0 CAPLUS

CN Benzamide, 2-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



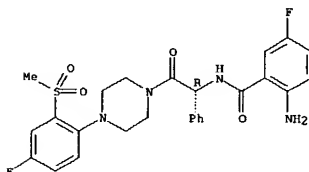
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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

RN 313486-86-1 CAPLUS

CN Benzamide, 2-amino-5-fluoro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

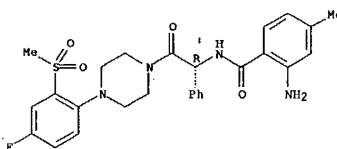
Absolute stereochemistry.



RN 313486-87-2 CAPLUS

CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



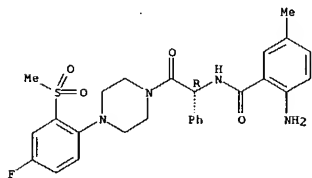
RN 313486-88-3 CAPLUS

CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

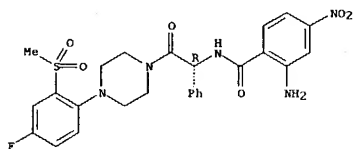
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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



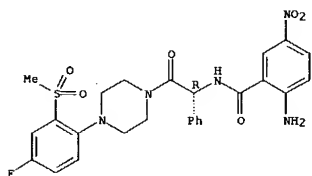
RN 313486-89-4 CAPLUS
 CN Benamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

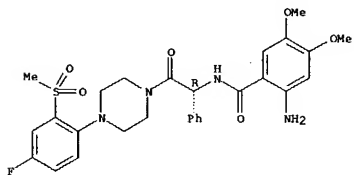


RN 313486-90-7 CAPLUS
 CN Benamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

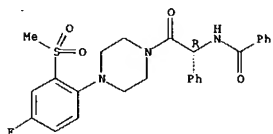


L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



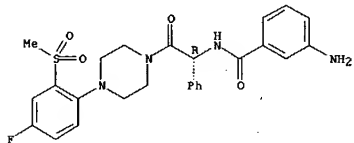
RN 313486-94-1 CAPLUS
 CN Benamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-95-2 CAPLUS
 CN Benamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-96-3 CAPLUS
 CN Benamide, 4-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

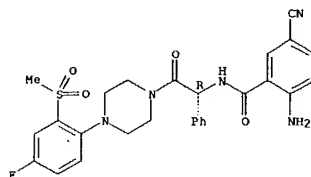
Absolute stereochemistry.

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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

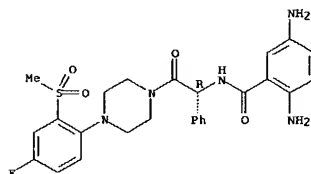
RN 313486-91-8 CAPLUS
 CN Benamide, 2-amino-5-cyano-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-92-9 CAPLUS
 CN Benamide, 2,5-diamino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

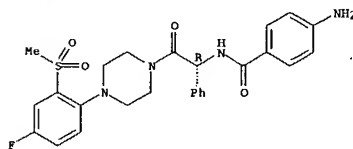
Absolute stereochemistry.



RN 313486-93-0 CAPLUS
 CN Benamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)

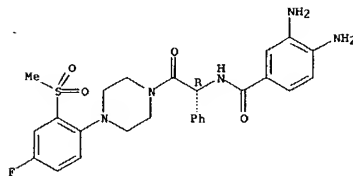
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



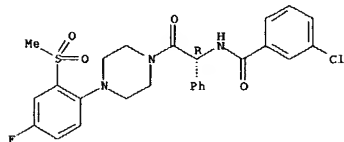
RN 313486-97-4 CAPLUS
 CN Benamide, 3,4-diamino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-98-5 CAPLUS
 CN Benamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

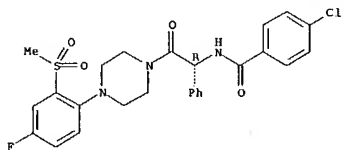


RN 313486-99-6 CAPLUS
 CN Benamide, 4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

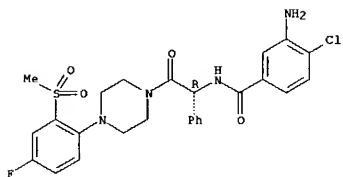
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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



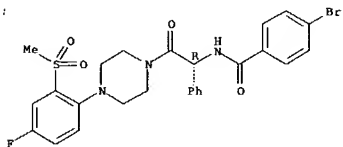
RN 313487-00-2 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



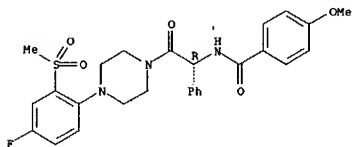
RN 313487-01-3 CAPLUS
 CN Benamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



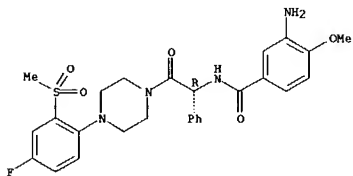
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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



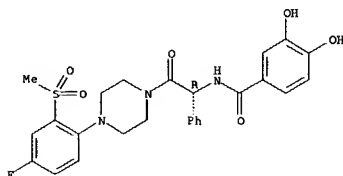
RN 313487-05-7 CAPLUS
 CN Benamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-06-8 CAPLUS
 CN Benamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3,4-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



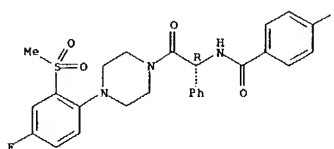
RN 313487-07-9 CAPLUS
 CN 2-Naphthalenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

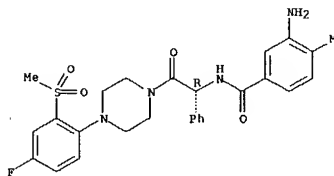
CN Benamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-03-5 CAPLUS
 CN Benamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

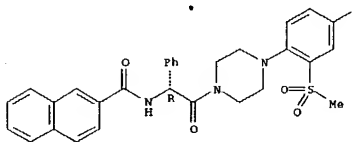


RN 313487-04-6 CAPLUS
 CN Benamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

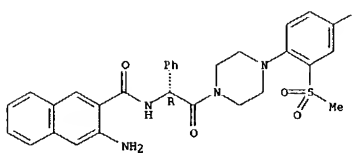
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



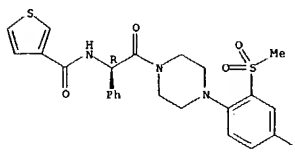
RN 313487-08-0 CAPLUS
 CN 2-Naphthalenecarboxamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-09-1 CAPLUS
 CN 3-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

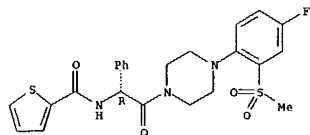


RN 313487-10-4 CAPLUS
 CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

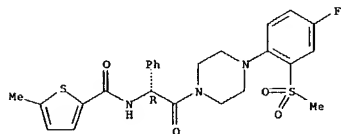
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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



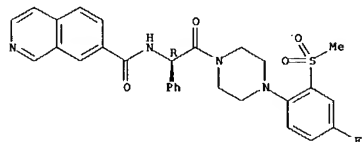
RN 313487-11-5 CAPLUS
 CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-12-6 CAPLUS
 CN 7-Isoquinolinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

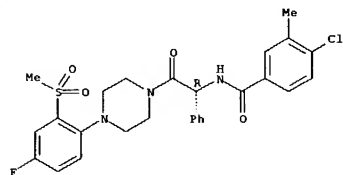
Absolute stereochemistry.



RN 313487-13-7 CAPLUS
 CN 3-Pyridinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

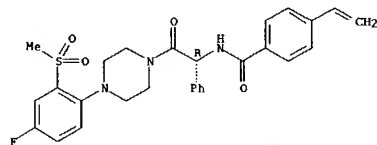
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



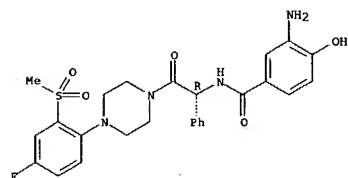
RN 313487-17-1 CAPLUS
 CN Benzamide, 4-ethenyl-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-18-2 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-hydroxy- (9CI) (CA INDEX NAME)

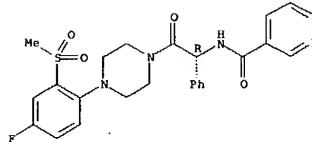
Absolute stereochemistry.



RN 313487-19-3 CAPLUS
 CN Benzamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

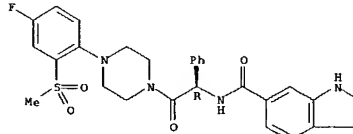
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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



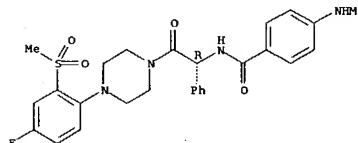
RN 313487-14-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-15-9 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylamino)- (9CI) (CA INDEX NAME)

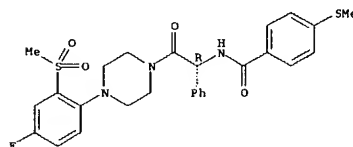
Absolute stereochemistry.



RN 313487-16-0 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

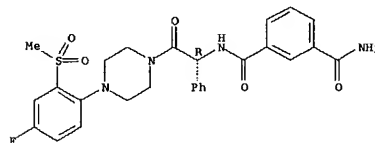
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



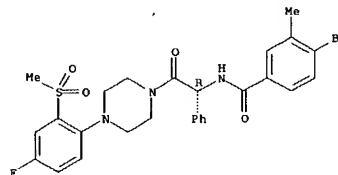
RN 313487-20-6 CAPLUS
 CN 1,3-Benzenedicarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-21-7 CAPLUS
 CN Benzamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

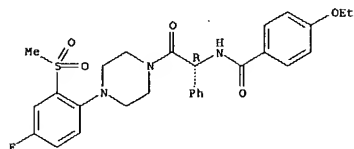


RN 313487-22-8 CAPLUS
 CN Benzamide, 4-ethoxy-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

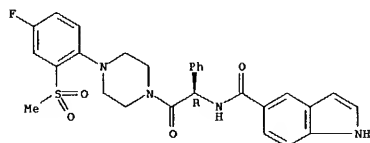
11/23/2004

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



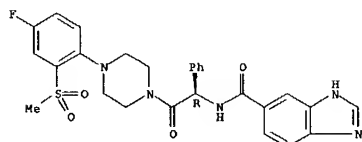
RN 313487-23-9 CAPLUS
CN 1H-Indole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-24-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

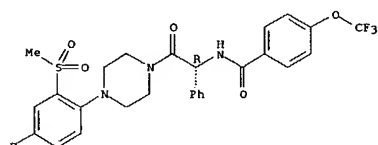
Absolute stereochemistry.



RN 313487-34-2 CAPLUS
CN Benzamide, 4-(ethylamino)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

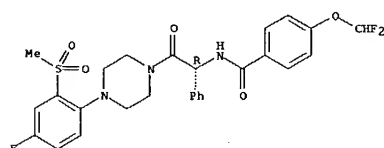
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



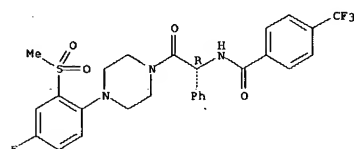
RN 313487-38-6 CAPLUS
CN Benzamide, 4-(difluoromethoxy)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



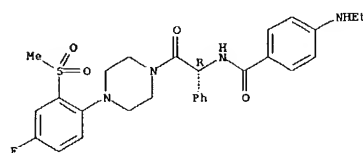
RN 313487-39-7 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



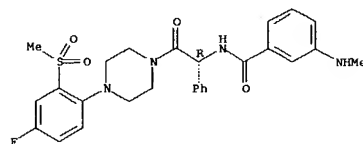
RN 313487-40-0 CAPLUS
CN 1H-Indole-3-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.

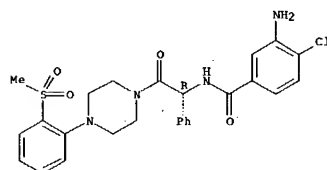
RN 313487-35-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-36-4 CAPLUS
CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

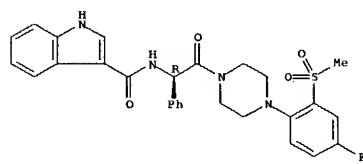
Absolute stereochemistry.



RN 313487-37-5 CAPLUS
CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

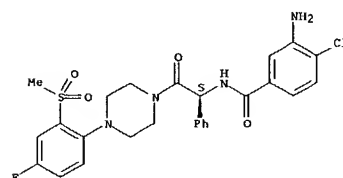
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



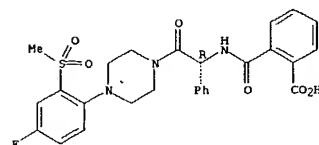
RN 313487-41-1 CAPLUS
CN Benzamide, 3-amino-4-chloro-N-[(1S)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-42-2 CAPLUS
CN Benzoic acid, 2-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

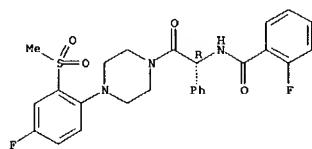


RN 313487-43-3 CAPLUS
CN Benzamide, 2-fluoro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

11/23/2004

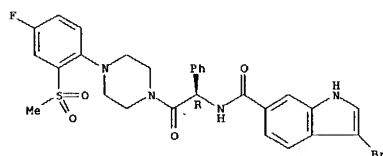
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



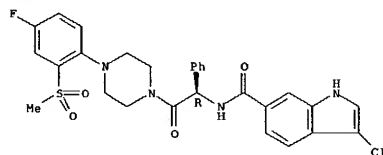
RN 313487-44-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

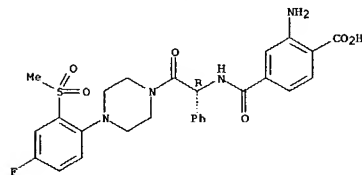


RN 313487-45-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

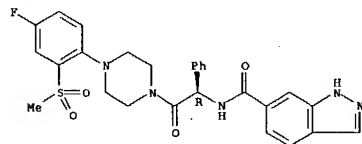


L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



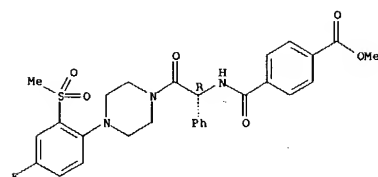
RN 313487-49-9 CAPLUS
 CN 1H-Indazole-6-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-50-2 CAPLUS
 CN Benzoic acid, 4-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



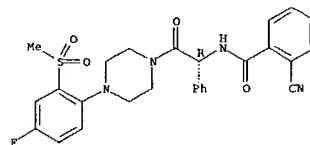
RN 313487-51-3 CAPLUS
 CN Benzamide, 4-(acetyloxy)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

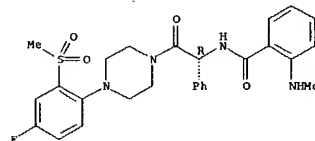
RN 313487-46-6 CAPLUS
 CN Benzamide, 2-cyano-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-47-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

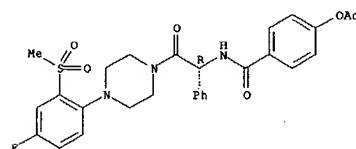


RN 313487-48-8 CAPLUS
 CN Benzoic acid, 2-amino-4-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

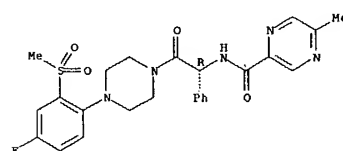
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



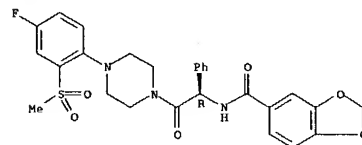
RN 313487-52-4 CAPLUS
 CN Pyrazinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-53-5 CAPLUS
 CN 1,3-Benzodioxole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

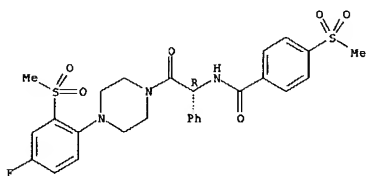
Absolute stereochemistry.



RN 313487-54-6 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

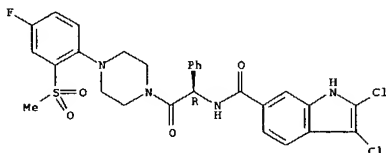
11/23/2004

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



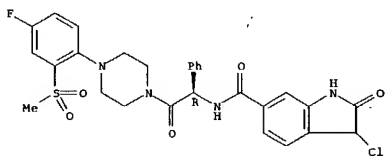
RN 313487-55-7 CAPLUS
CN 1H-Indole-6-carboxamide, 2,3-dichloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

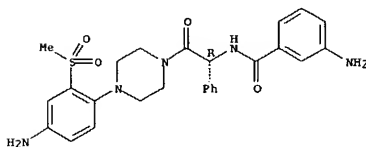


RN 313487-56-8 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

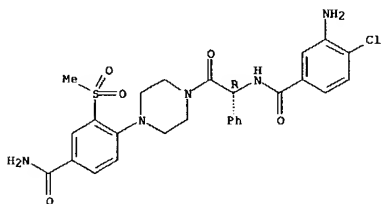


L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



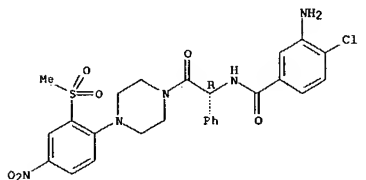
RN 313487-69-3 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-(aminocarbonyl)phenyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-70-6 CAPLUS
CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



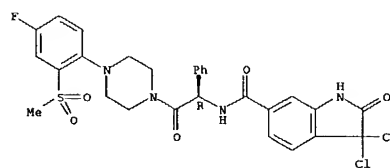
RN 313487-71-7 CAPLUS

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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

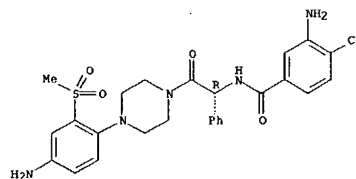
RN 313487-57-9 CAPLUS
CN 1H-Indole-6-carboxamide, 3,3-dichloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-67-1 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-amino-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

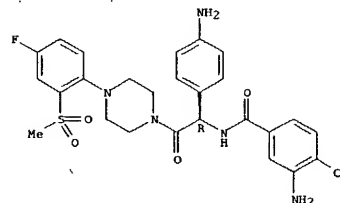


RN 313487-68-2 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-amino-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

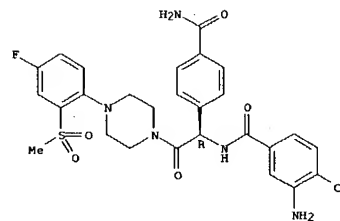
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Benzamide, 3-amino-N-[(1R)-1-(4-aminophenyl)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-72-8 CAPLUS
CN Benzamide, 3-amino-N-[(1R)-1-(4-aminocarbonyl)phenyl]-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

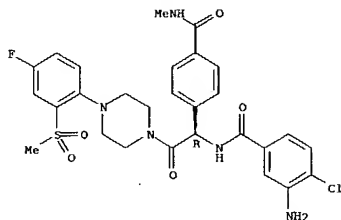


RN 313487-73-9 CAPLUS
CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-1-[4-[(methylamino)carbonyl]phenyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

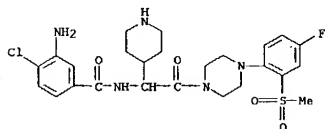
Absolute stereochemistry.

11/23/2004

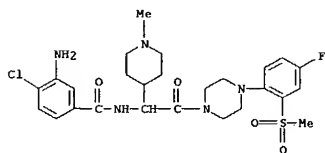
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313487-80-8 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-([1R]-2-oxo-1-phenyl-2-oxo-1-phenyl-1-piperazinyl)-2-oxo-1-(4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

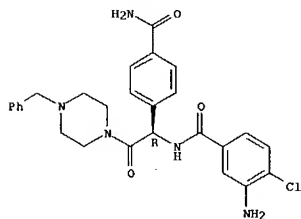


RN 313487-81-9 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-([1R]-2-oxo-1-phenyl-2-oxo-1-phenyl-1-piperazinyl)-2-oxo-1-(4-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



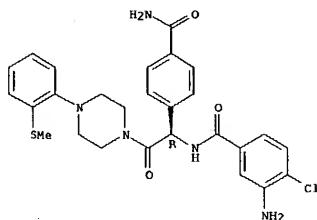
RN 313487-82-0 CAPLUS

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313488-13-0 CAPLUS
 CN Benzamide, 3-amino-N-([1R]-1-[4-(aminocarbonyl)phenyl]-2-[4-(2-methylthio)phenyl]-1-piperazinyl)-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

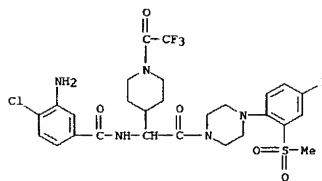
Absolute stereochemistry.



RN 313488-14-1 CAPLUS
 CN Benzamide, 3-amino-N-([1R]-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

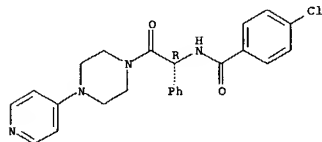
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 CN Benzamide, 3-amino-4-chloro-N-([1R]-2-oxo-1-phenyl-2-[4-(4-fluoro-2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxo-1-[1-(trifluoroacetyl)-4-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 313487-86-4 CAPLUS
 CN Benzamide, 4-chloro-N-([1R]-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

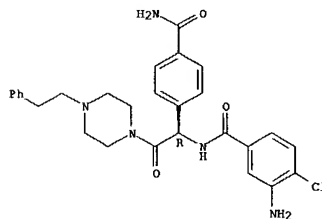
Absolute stereochemistry.



RN 313488-12-9 CAPLUS
 CN Benzamide, 3-amino-N-([1R]-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

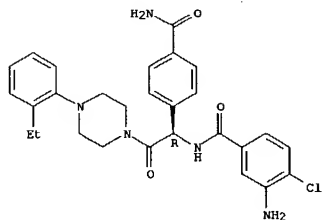
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313488-16-3 CAPLUS
 CN Benzamide, 3-amino-N-([1R]-1-[4-(aminocarbonyl)phenyl]-2-[4-(2-ethylphenyl)-1-piperazinyl]-2-oxoethyl)-4-chloro- (9CI) (CA INDEX NAME)

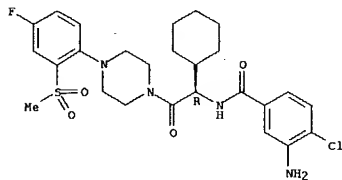
Absolute stereochemistry.



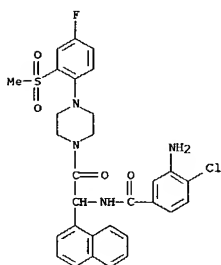
RN 313488-18-5 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-([1R]-1-cyclohexyl-2-[4-(4-fluoro-2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

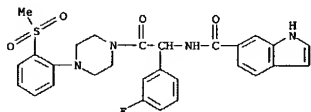


RN 313488-19-6 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-1-(1-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

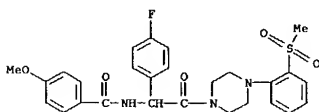


RN 313488-22-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-(3-thienyl)ethyl]- (9CI) (CA INDEX NAME)

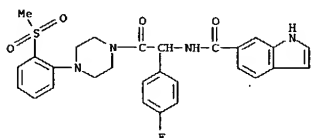
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



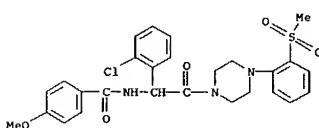
RN 313488-26-5 CAPLUS
 CN Benzamide, N-[1-(4-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 313488-27-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(4-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

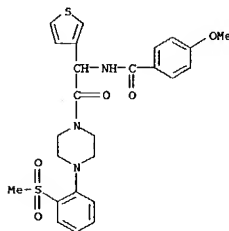


RN 313488-28-7 CAPLUS
 CN Benzamide, N-[1-(2-chlorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

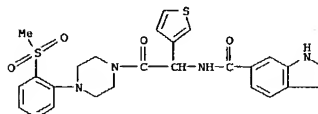


Habte

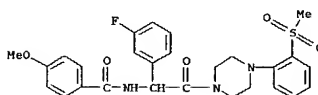
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313488-23-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-(3-thienyl)ethyl]- (9CI) (CA INDEX NAME)



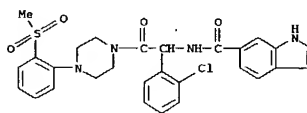
RN 313488-24-3 CAPLUS
 CN Benzamide, N-[1-(3-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



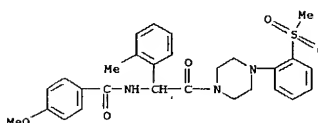
RN 313488-25-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(3-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

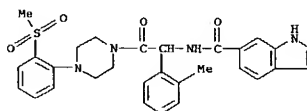
RN 313488-29-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 313488-30-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[1-(2-methylphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 313488-31-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(2-methylphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

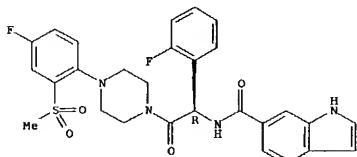


RN 313488-32-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-1-(2-fluorophenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

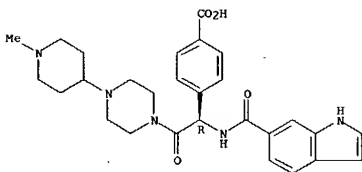
11/23/2004

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



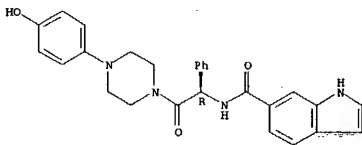
RN 313488-33-4 CAPLUS
 CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



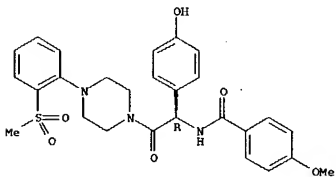
RN 313488-34-5 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(4-hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



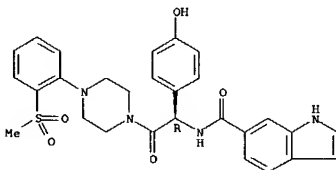
RN 313488-35-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(4-hydroxyphenyl)-1-

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313488-51-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-1-(4-hydroxyphenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

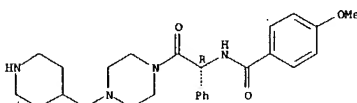


RN 313489-20-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]ethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-19-9
 CMP C26 H34 N4 O3

Absolute stereochemistry.



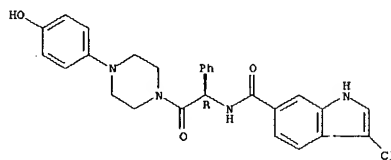
CM 2

Habté

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

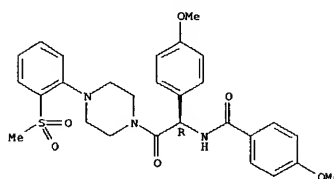
piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-36-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-1-(4-methoxyphenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-50-5 CAPLUS
 CN Benzamide, N-[(1R)-1-(4-hydroxyphenyl)-2-[4-(2-(methylsulfonyl)phenyl)-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

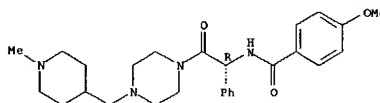
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 CRN 76-05-1
 CMP C2 H F3 O2



RN 313489-21-3 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

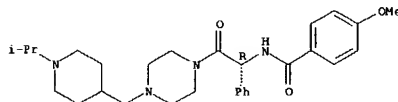
Absolute stereochemistry.



● x HCl

RN 313489-22-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methylethyl)-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



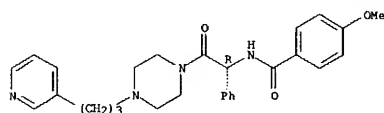
● 3 HCl

RN 313489-23-5 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-[3-(3-pyridinyl)propyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

11/23/2004

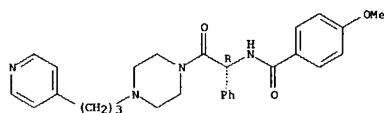
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● x HCl

RN 313489-24-6 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-(4-pyridinyl)propyl)-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● x HCl

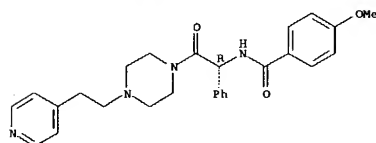
RN 313489-25-7 CAPLUS
 CN Benamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

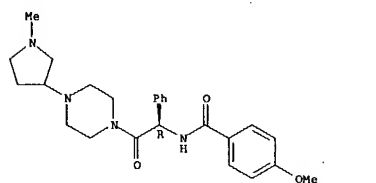
RN 313489-28-0 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



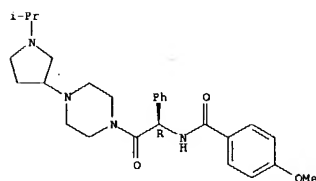
RN 313489-29-1 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-3-pyrrolidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



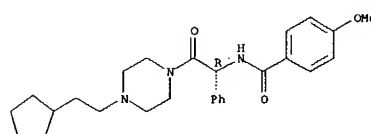
RN 313489-30-4 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-[4-[1-(1-methylethyl)-3-pyrrolidinyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



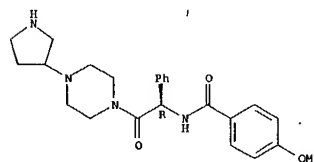
● HCl

RN 313489-27-9 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyrrolidinyl)-1-piperazinyl]ethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 313489-26-8
 CMF C24 H30 N4 O3

Absolute stereochemistry.



CM 2

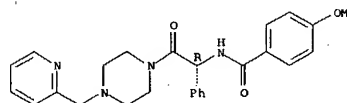
CRN 76-05-1
 CMF C2 H F3 O2



L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

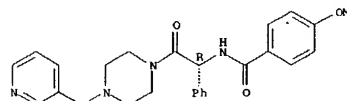
RN 313489-58-6 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



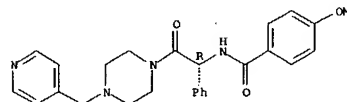
RN 313489-59-7 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-60-0 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

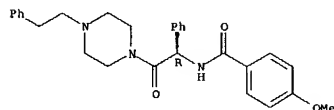


RN 313489-61-1 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

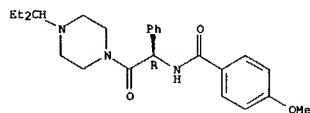
11/23/2004

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued).



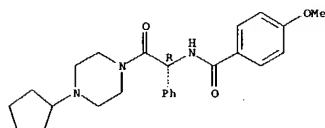
RN 313489-62-2 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-63-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclopentyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

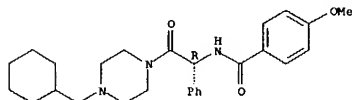
Absolute stereochemistry.



RN 313489-64-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(tetrahydro-2H-thiopyran-4-yl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

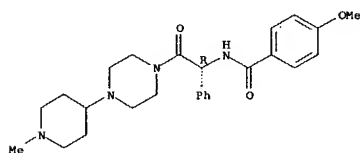
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued).



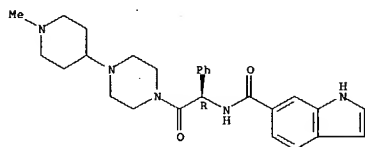
RN 313489-70-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 313489-71-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

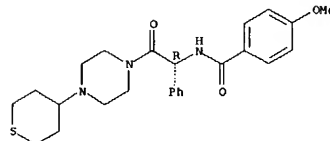
Absolute stereochemistry. Rotation (-).



RN 313489-72-4 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

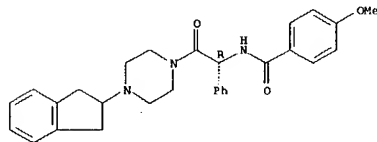
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued).



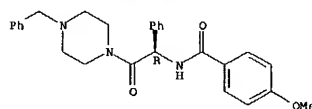
RN 313489-65-5 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-66-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

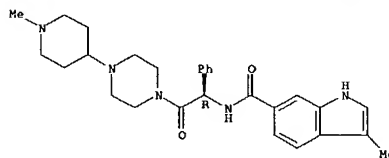
Absolute stereochemistry.



RN 313489-67-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

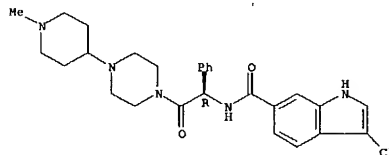
Absolute stereochemistry.

L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued).



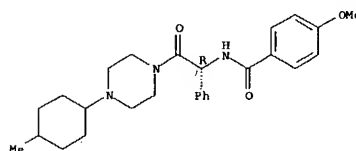
RN 313489-73-5 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313690-67-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(4-methylcyclohexyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



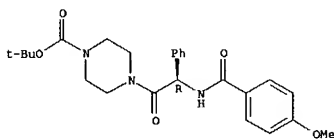
IT 313490-70-9P 313490-72-1P 313490-73-2P
 313490-74-3P 313490-75-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as serine protease inhibitors)
 RN 313490-70-9 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-[(4-methoxybenzoyl)amino]phenyl]acetyl

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L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)

Absolute stereochemistry.

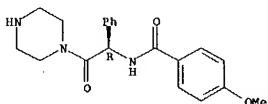


RN 313490-72-1 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-(1-piperazinyl)ethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 313490-71-0
CMF C20 H23 N3 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CME C2 H F3 Q2



RN 313490-73-2 CAPLUS
CN. 1-Piperidinecarboxylic acid, 4-[[4-[(2R)-[4-(4-methoxybenzoyl)amino]phenylacetyl]-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:900613 CAPLUS
DOCUMENT NUMBER: 134:56957
TITLE: Preparation of amino acid derivatives as serine
protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray,
Christopher William; Rimmer, Andrew David; Young,
Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart
Donald; Morgan, Phillip John; Richards, Simon James;
Wylie, William Alexander; Lively, Sarah Elizabeth;
Harrison, Martin James; Waszkowycz, Bohdan; Masters,
John Joseph; Wilely, Michael John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular
Design Limited
SOURCE: ECT Int. Appl., 350 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
WO 2000076970	A3	20010719		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KK, LC, LR, LA, LS, LT, LU, LV, MA, MD, MG, MK, MW, MX, MY, NZ, NO, NP, PT, RW, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383008	AA	20001221	CA 2000-2383008	20000613
EP 1192135	A2	20020403	EP 2000-938919	20000613
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003216403	A1	20031120	US 2003-296245	20030514
PRIORITY APPLN. INFO.:			US 1999-13823	A 19990614
			US 1999-142064P	P 19990702
			GB 1999-18741	A 19990809
			GB 1999-29552	A 19991214
			GB 1999-29553	A 19991214
			WO 2000-GB2296	W 20000613
			WO 2001-GB2566	W 20010612

OTHER SOURCE(S): MARPAT 134:56957

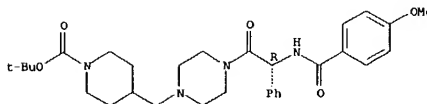
AB Comps. R2-X-X(Y)-L-Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CH₂, C(CH₃)₂ or NR₂ group, the latter represents H, H₂, alkoxyl, alkyl, aminoalkyl, hydrazyl, alkoxalkyl, alkoxcarbamyl, alkylcarbamyl, alkoxycarbonylamino, alkoxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, acyl or cycloalkyl; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O

S, or a branched alkyl or cyclic group; Y is a N atom or a CR_{1b} group (R_{1b} defined as for R_{1a}); Cy is an (un)substituted, (un)saturated, mono- or

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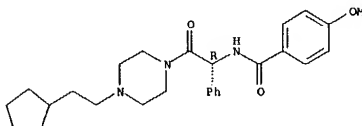
L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



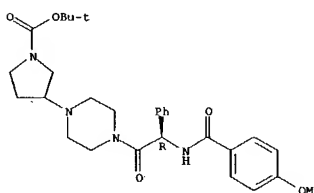
RN 313490-74-3 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-75-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[4-[(2R)-[(4-methoxybenzoyl)amino]phenylacetyl-1-piperazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



14 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)
polycyclic, homo- or heterocyclic group; Ip is a lipophilic org. group; D is a hydrogen bond donor group; n ~ 0-2) were prepd. for use as serine protease inhibitors. Compd. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthyl-D-b-phenylglyciny)-4',4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 μ M.

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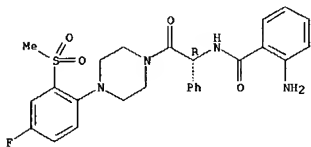
SL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313486-84-9 CAPLUS
CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

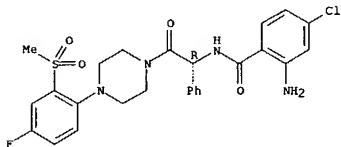
11/23/2004

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



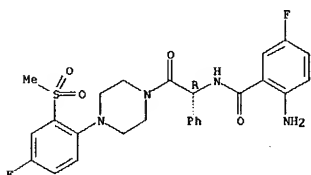
RN 313486-85-0 CAPLUS
 CN Benzamide, 2-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

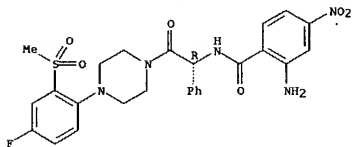


RN 313486-86-1 CAPLUS
 CN Benzamide, 2-amino-5-fluoro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

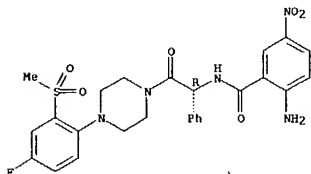


L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



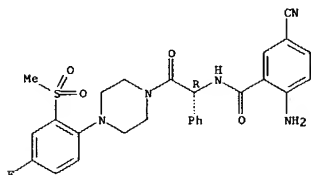
RN 313486-90-7 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-91-8 CAPLUS
 CN Benzamide, 2-amino-5-cyano-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



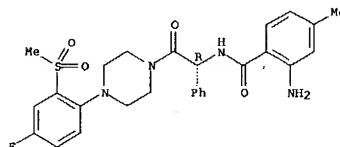
RN 313486-92-9 CAPLUS
 CN Benzamide, 2,5-diamino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

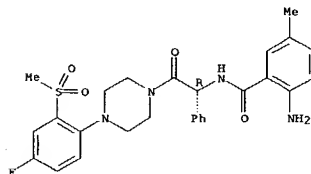
RN 313486-87-2 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-88-3 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



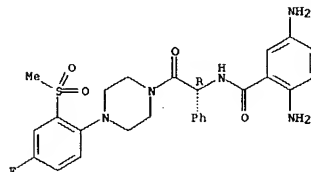
RN 313486-89-4 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

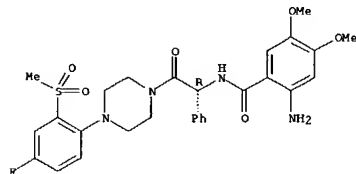
RN 313486-93-0 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



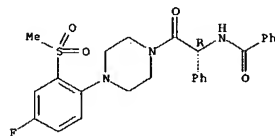
RN 313486-93-0 CAPLUS
 CN Benzamide, 2-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4,5-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-94-1 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

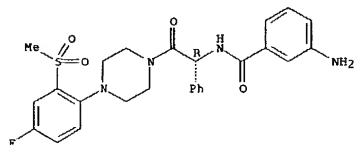


RN 313486-95-2 CAPLUS

11/23/2004

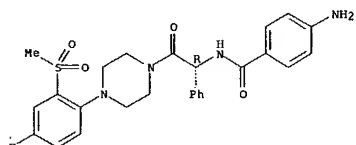
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-96-3 CAPLUS
 CN Benzamide, 4-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



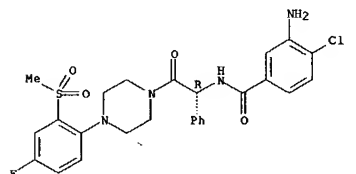
RN 313486-97-4 CAPLUS
 CN Benzamide, 3,4-diamino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



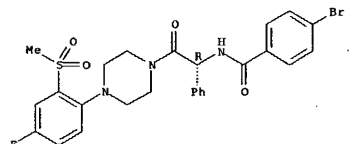
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 INDEX NAME)

Absolute stereochemistry.



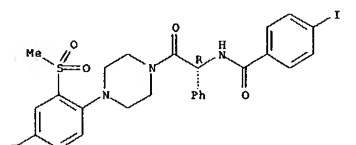
RN 313487-01-3 CAPLUS
 CN Benzamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-02-4 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-iodo- (9CI) (CA INDEX NAME)

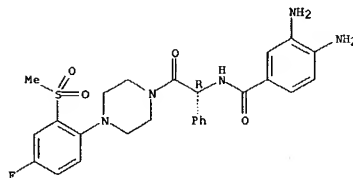
Absolute stereochemistry.



RN 313487-03-5 CAPLUS

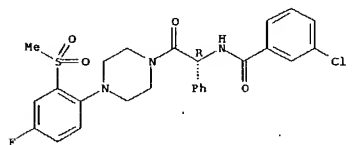
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L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



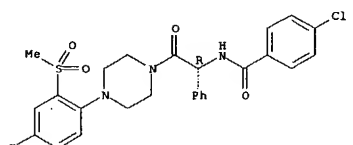
RN 313486-98-5 CAPLUS
 CN Benzamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313486-99-6 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

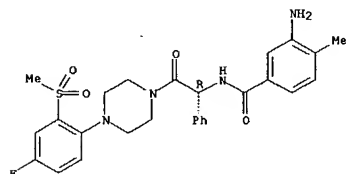
Absolute stereochemistry.



RN 313487-00-2 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

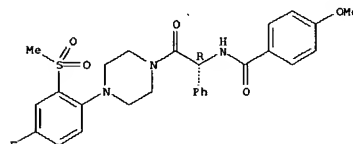
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 INDEX NAME)

Absolute stereochemistry.



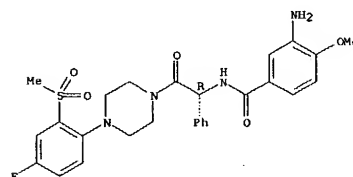
RN 313487-04-6 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-05-7 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

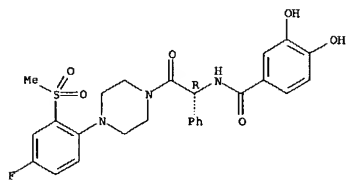


11/23/2004

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

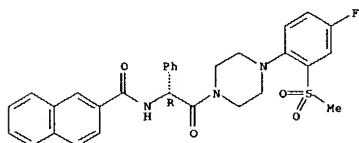
RN 313487-06-8 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3,4-dihydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-07-9 CAPLUS
 CN 2-Naphthalenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

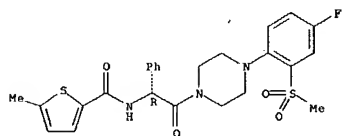


RN 313487-08-0 CAPLUS
 CN 2-Naphthalenecarboxamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

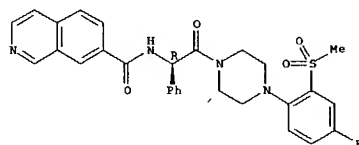
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



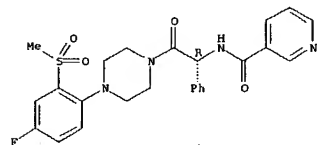
RN 313487-12-6 CAPLUS
 CN 7-Isquinolinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-13-7 CAPLUS
 CN 3-Pyridinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

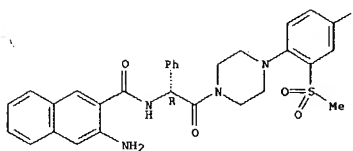


RN 313487-14-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

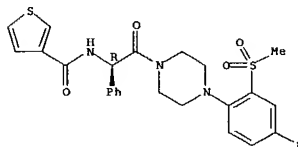
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L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



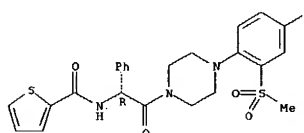
RN 313487-09-1 CAPLUS
 CN 3-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



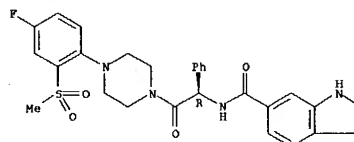
RN 313487-10-4 CAPLUS
 CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



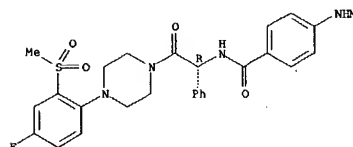
RN 313487-11-5 CAPLUS
 CN 2-Thiophenecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



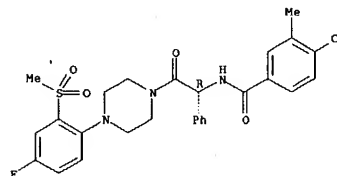
RN 313487-15-9 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-16-0 CAPLUS
 CN Benzamide, 4-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

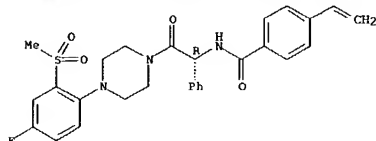


RN 313487-17-1 CAPLUS
 CN Benzamide, 4-ethenyl-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

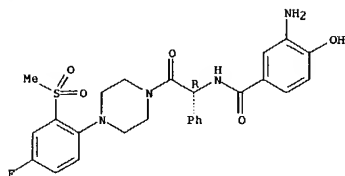
11/23/2004

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



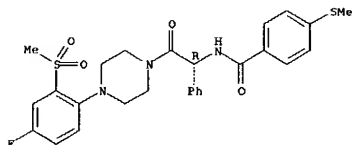
RN 313487-18-2 CAPLUS
 CN Benamide, 3-amino-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-19-3 CAPLUS
 CN Benamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

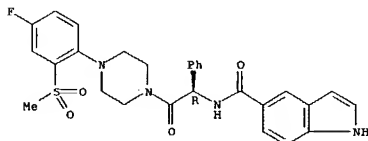


RN 313487-20-6 CAPLUS
 CN 1,3-Benzenedicarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

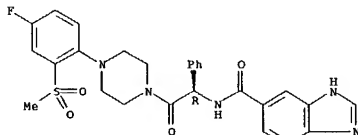
RN 313487-23-9 CAPLUS
 CN 1H-Indole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



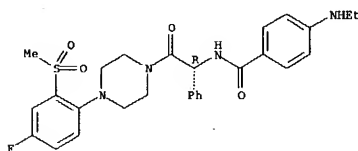
RN 313487-24-0 CAPLUS
 CN 1H-Benzimidazole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-34-2 CAPLUS
 CN Benamide, 4-(ethylamino)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

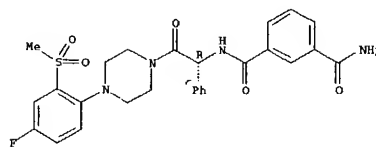


RN 313487-35-3 CAPLUS
 CN Benamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-(methylamino)- (9CI) (CA INDEX NAME)

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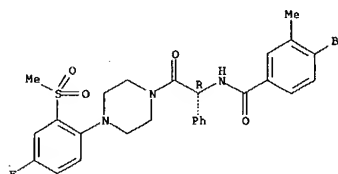
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



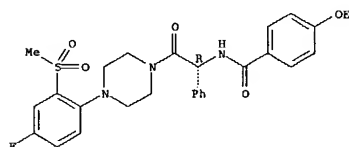
RN 313487-21-7 CAPLUS
 CN Benamide, 4-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



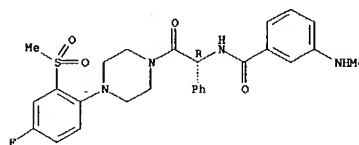
RN 313487-22-8 CAPLUS
 CN Benamide, 4-ethoxy-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



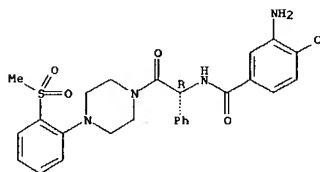
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



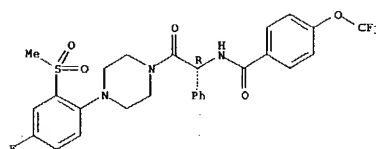
RN 313487-36-4 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-37-5 CAPLUS
 CN Benamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

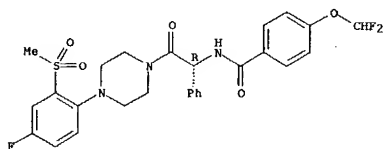
Absolute stereochemistry.



RN 313487-38-6 CAPLUS
 CN Benamide, 4-(difluoromethoxy)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

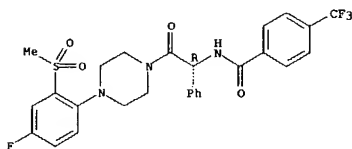
11/23/2004

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



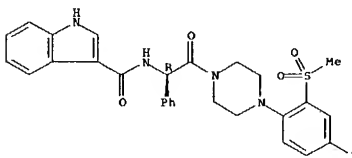
RN 313487-39-7 CAPLUS
CN Benzanide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-40-0 CAPLUS
CN 1H-Indole-3-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

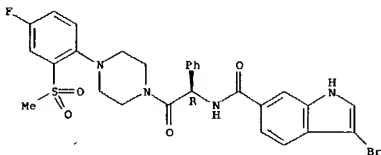


RN 313487-41-1 CAPLUS
CN Benzanide, 3-amino-4-chloro-N-[(1S)-2-[4-[4-fluoro-2-

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

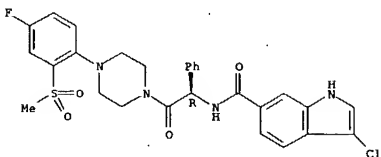
RN 313487-44-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-bromo-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



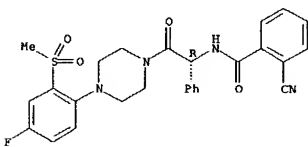
RN 313487-45-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-46-6 CAPLUS
CN Benzanide, 2-cyano-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

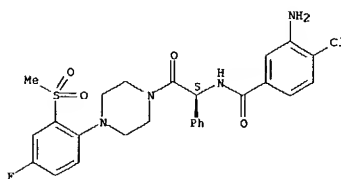
Absolute stereochemistry.



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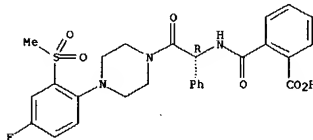
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



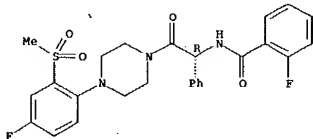
RN 313487-42-2 CAPLUS
CN Benzoic acid, 2-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-43-3 CAPLUS
CN Benzanide, 2-fluoro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

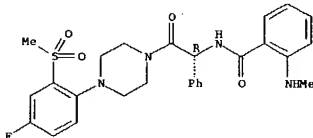
Absolute stereochemistry.



L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

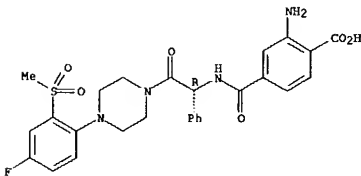
RN 313487-47-7 CAPLUS
CN Benzanide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



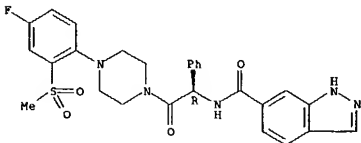
RN 313487-48-8 CAPLUS
CN Benzoic acid, 2-amino-4-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-49-9 CAPLUS
CN 1H-Indazole-6-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

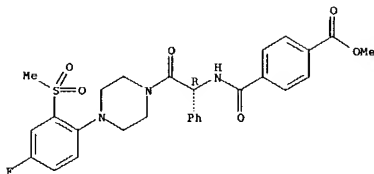


RN 313487-50-2 CAPLUS

11/23/2004

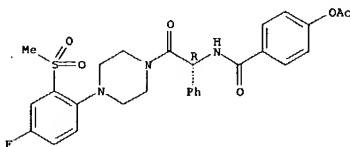
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 CN Benzoic acid, 4-[[[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



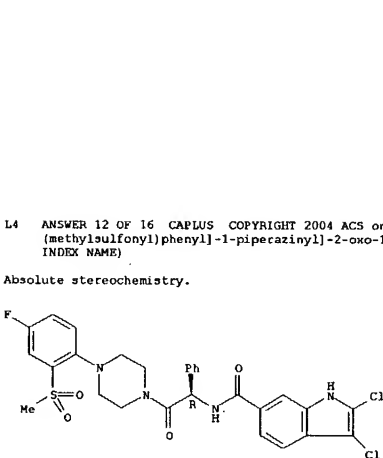
RN 313487-51-3 CAPLUS
 CN Benzamide, 4-(acetyloxy)-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



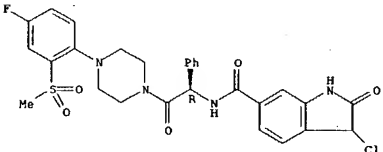
RN 313487-52-4 CAPLUS
 CN Pyrazinecarboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



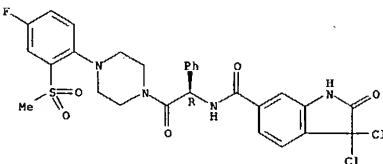
RN 313487-56-8 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



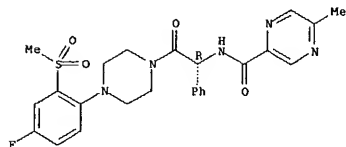
RN 313487-57-9 CAPLUS
 CN 1H-Indole-6-carboxamide, 3,3-dichloro-N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-2,3-dihydro-2-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



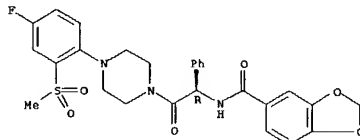
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L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



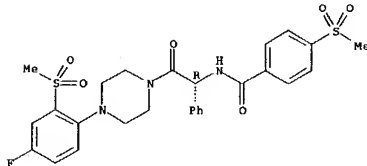
RN 313487-53-5 CAPLUS
 CN 1,3-Benzodioxole-5-carboxamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-54-6 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

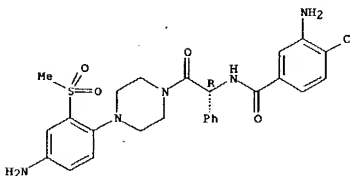
Absolute stereochemistry.



RN 313487-55-7 CAPLUS
 CN 1H-Indole-6-carboxamide, 2,3-dichloro-N-[(1R)-2-[4-[4-fluoro-2-

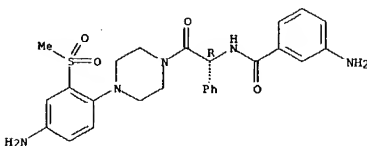
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 RN 313487-67-1 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-amino-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313487-68-2 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-amino-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

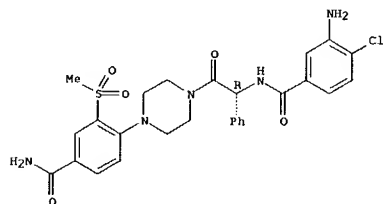


RN 313487-69-3 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-2-[4-[4-(aminocarbonyl)-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

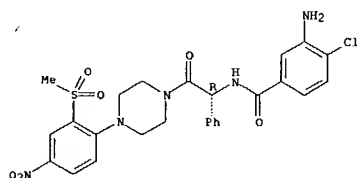
11/23/2004

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 313487-70-6 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

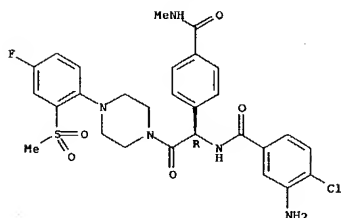
Absolute stereochemistry.



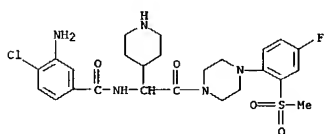
RN 313487-71-7 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

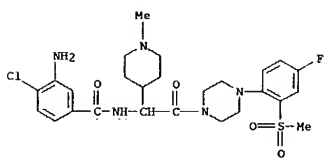
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 313487-80-8 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)



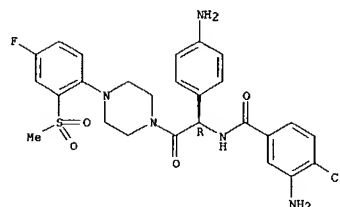
RN 313487-81-9 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)



RN 313487-82-0 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

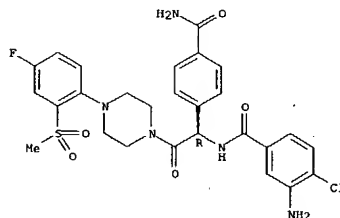
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L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 313487-72-8 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

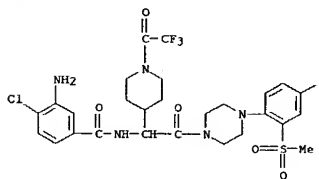
Absolute stereochemistry.



RN 313487-73-9 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

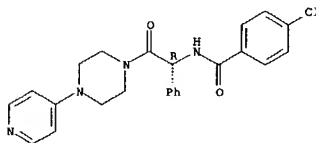
Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



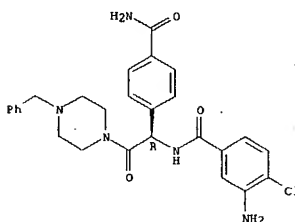
RN 313487-86-4 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-12-9 CAPLUS
 CN Benamide, 3-amino-4-chloro-N-[(1R)-2-[4-[2-(methylsulfonyl)-4-nitrophenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

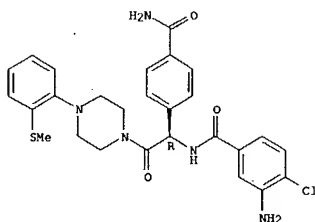


RN 313488-13-0 CAPLUS

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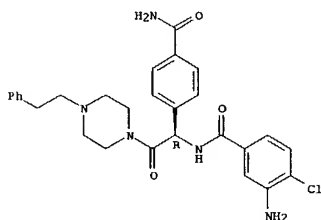
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-[4-(2-methylthio)phenyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-14-1 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-oxo-2-[4-(2-phenylethyl)-1-piperazinyl]ethyl]-4-chloro- (9CI) (CA INDEX NAME)

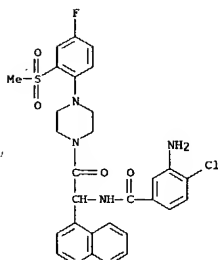
Absolute stereochemistry.



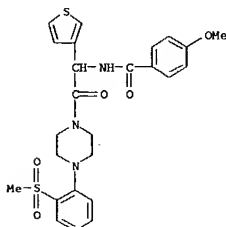
RN 313488-16-3 CAPLUS
 CN Benzamide, 3-amino-N-[(1R)-1-[4-(aminocarbonyl)phenyl]-2-[4-(2-ethylphenyl)-1-piperazinyl]-2-oxoethyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

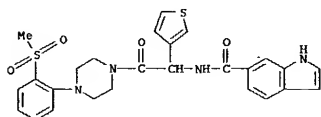
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313488-22-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-(3-thienyl)ethyl]- (9CI) (CA INDEX NAME)

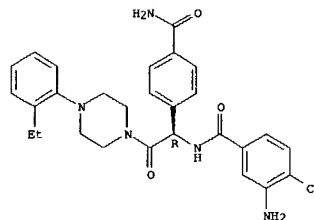


RN 313488-23-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxo-1-(3-thienyl)ethyl]- (9CI) (CA INDEX NAME)



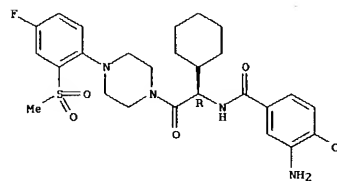
Habte

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313488-18-5 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-1-cyclohexyl-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

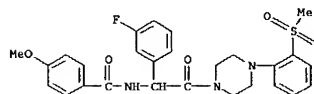
Absolute stereochemistry.



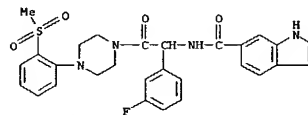
RN 313488-19-6 CAPLUS
 CN Benzamide, 3-amino-4-chloro-N-[(1R)-1-cyclohexyl-2-[4-[4-fluoro-2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

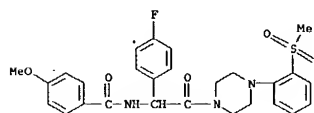
RN 313488-24-3 CAPLUS
 CN Benzamide, N-[1-(3-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 313488-25-4 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(3-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



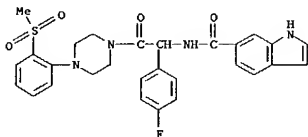
RN 313488-26-5 CAPLUS
 CN Benzamide, N-[1-(4-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)



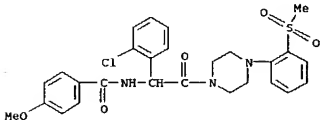
RN 313488-27-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(4-fluorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

11/23/2004

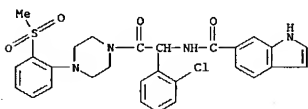
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 313488-28-7 CAPLUS
 CN Benamide, N-[1-(2-chlorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

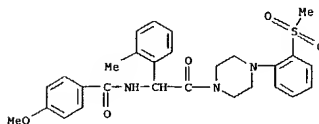


RN 313488-29-8 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

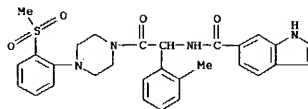


RN 313488-30-1 CAPLUS
 CN Benamide, 4-methoxy-N-[1-(2-methylphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

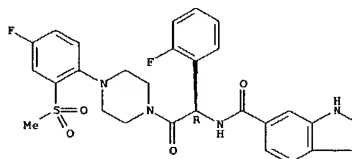


RN 313488-31-2 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(2-methylphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 313488-32-3 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

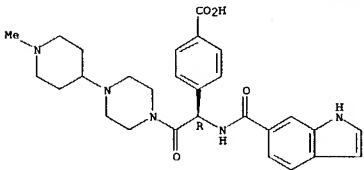
Absolute stereochemistry.



RN 313488-33-4 CAPLUS
 CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

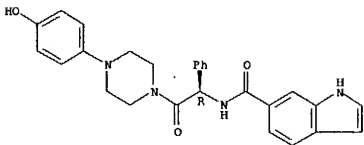
Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



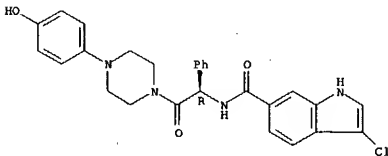
RN 313488-34-5 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-[4-(hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-35-6 CAPLUS
 CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-[4-(hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

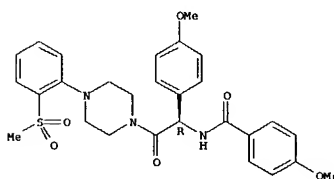


RN 313488-36-7 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-1-(4-methoxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

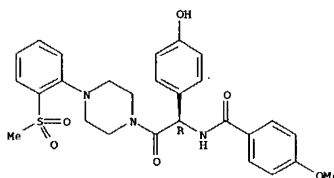
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L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



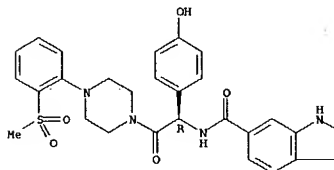
RN 313488-50-5 CAPLUS
 CN Benamide, N-[(1R)-1-(4-hydroxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-51-6 CAPLUS
 CN 1H-Indole-6-carboxamide, N-[(1R)-1-(4-hydroxyphenyl)-2-[4-[2-(methylsulfonyl)phenyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313488-20-2 CAPLUS
 CN Benamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-piperidinylmethyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

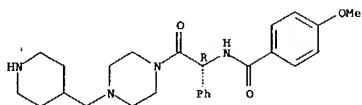
11/23/2004

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
piperazinyl]ethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-19-9
CMF C26 H34 N4 O3

Absolute stereochemistry.



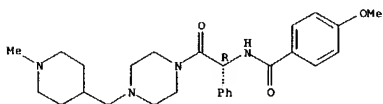
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 313489-21-3 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methyl-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, hydrochloride (9CI) (CA INDEX NAME)

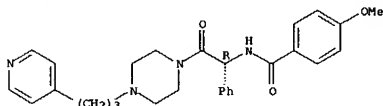
Absolute stereochemistry.



● x HCl

RN 313489-22-4 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methylethyl)-4-piperidinyl)methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]-, trihydrochloride

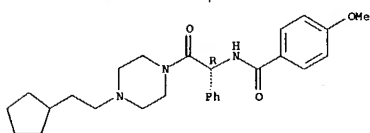
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● x HCl

RN 313489-25-7 CAPLUS
CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 313489-27-9 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyrrolidinyl)-1-piperazinyl]ethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

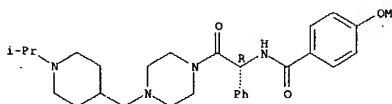
CM 1

CRN 313489-26-8
CMF C24 H30 N4 O3

Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

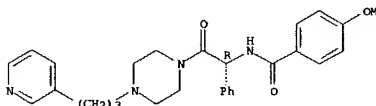
Absolute stereochemistry.



● 3 HCl

RN 313489-23-5 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinyl)propyl]-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

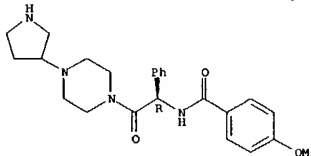


● x HCl

RN 313489-24-6 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-(4-pyridinyl)propyl)-1-piperazinyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



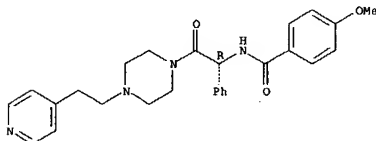
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 313489-28-0 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-(4-pyridinyl)ethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

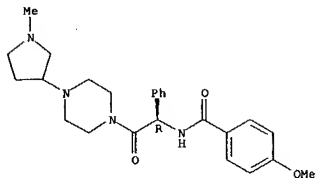
Absolute stereochemistry.



RN 313489-29-1 CAPLUS
CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-3-pyrrolidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

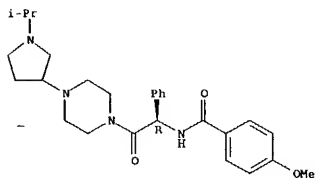
Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



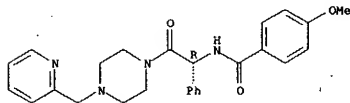
RN 313489-30-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(1-methylethyl)-3-pyrrolidinyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



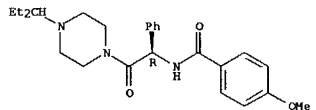
RN 313489-58-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(2-pyridinylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



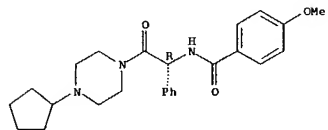
RN 313489-59-7 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-[(3-pyridinylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



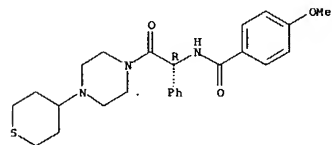
RN 313489-63-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(4-cyclopentyl-1-piperazinyl)-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-64-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



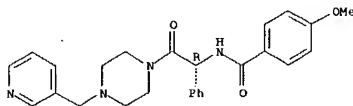
RN 313489-65-5 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2,3-dihydro-1H-inden-2-yl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Hatte

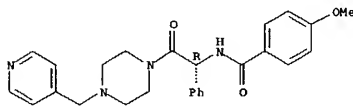
L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

Absolute stereochemistry.



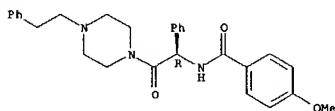
RN 313489-60-0 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(4-pyridinylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-61-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(2-phenylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

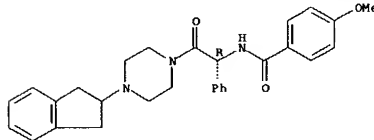
Absolute stereochemistry.



RN 313489-62-2 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(1-ethylpropyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

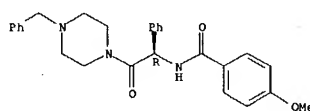
Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



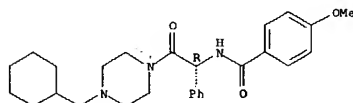
RN 313489-66-6 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(phenylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-67-7 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(cyclohexylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

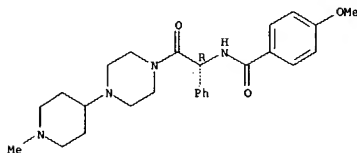


RN 313489-70-2 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

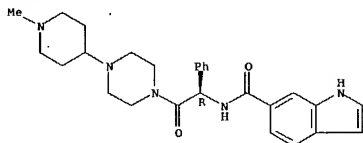
11/23/2004

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



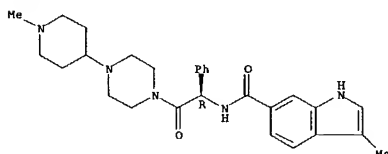
RN 313489-71-3 CAPLUS
 CN 1H-indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



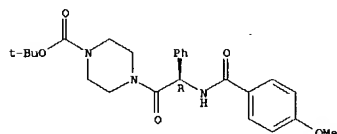
RN 313489-72-4 CAPLUS
 CN 1H-indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-73-5 CAPLUS
 CN 1H-indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

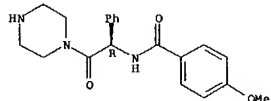


RN 313490-72-1 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

CM 1

CRN 313490-71-0
 CMF C20 H23 N3 O3

Absolute stereochemistry.



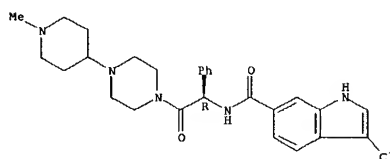
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



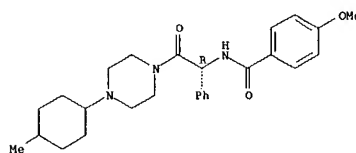
RN 313490-73-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[4-[(2R)-[(4-methoxybenzoyl)amino]phenyl]ethyl]-1-piperazinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
 Absolute stereochemistry.

RN 313690-67-4 CAPLUS
 CN Benzamide, 4-methoxy-N-[(1R)-2-[4-(4-methylcyclohexyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

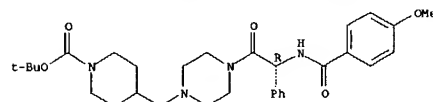
Absolute stereochemistry.



IT 313490-70-9P 313490-72-1P 313490-73-2P
 313490-74-3P 313490-75-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of amino acid derivs. as serine protease inhibitors)
 RN 313490-70-9 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-[(4-methoxybenzoyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

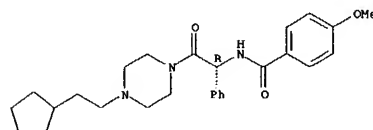
Absolute stereochemistry.

L4 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



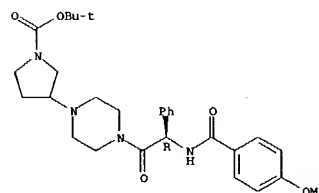
RN 313490-74-3 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(2-cyclopentylethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313490-75-4 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 3-[[4-[(2R)-[(4-methoxybenzoyl)amino]phenyl]ethyl]-1-piperazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:184269 CAPLUS

DOCUMENT NUMBER: 130:237884

TITLE:

Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors
 Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John
 Proteus Molecular Design Ltd., UK
 FCT Int. Appl., 110 pp.
 CODEN: PIXXD2

PATENT ASSIGNEE(S):

SOURCE:

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

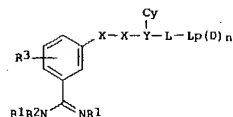
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AU 9888757	A1	19990322	AU 1998-98757	19980828
EP 1009758	A1	20000621	EP 1998-940430	19980828
R: DE, FR, GB, IT				
US 2002055522	A1	20020509	US 2001-988082	20011119
US 6740682	B2	20040525		
US 2003216403	A1	20031120	US 2003-296245	20030514
US 2004143018	A1	20040722	US 2004-752568	20040108
PRIORITY APPLN. INFO.:			GB 1997-18392	A 19970829
			GB 1998-3173	A 19980213
			WO 1998-GB2605	W 19980828
			GB 1999-13823	A 19990614
			US 1999-142064P	P 19990702
			US 2000-485678	A 20000225
			WO 2000-GB2291	A 20000613
			WO 2001-GB2566	W 20010612
			US 2001-988082	A1 20011119

OTHER SOURCE(S):

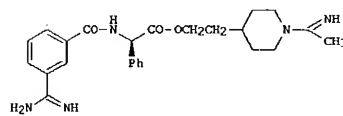
HARPAT 130:237884

GI

L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



II

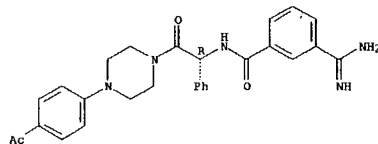
AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyalkenyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfinyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = organic linker containing 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)saturated, (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxo, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2] and their physiol. tolerable salts were prepared as serine protease inhibitors useful as antithrombotic agents. Synthesis methodol. for preparing some I was provided, and common starting materials were Fmoc- or Boc-(D)-phenylglycine and m-aminobenzoic acid. Descriptions of enzyme assays were given, but no enzyme inhibition data was provided for I. To measure the antithrombotic activity, a partial thromboplastin time test assay was done, and for example, m-aminobenzoyl-D-phenylglycine ester II (preparation not given, but 1H NMR characterization data provided), at 1.9 μM concentration, doubled the clotting time.

IT 221237-68-9P 221237-74-7P 221237-81-6P
 221237-90-7P 221237-98-5P 221238-06-8P
 221240-62-6P 221240-91-1P 221240-97-7P
 221241-03-8P 221241-09-4P 221241-14-1P

L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

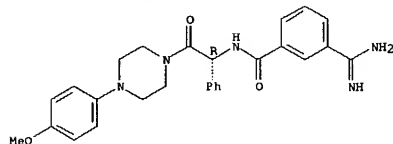
221241-19-6P 221241-24-3P 221241-29-8P
 221242-18-8P 221242-26-8P 221242-30-4P
 221242-34-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)
 RN 221237-68-9 CAPLUS
 CN Benzamide, N-[(1R)-2-[4-(4-acetylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-3-(aminoiminomethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221237-74-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

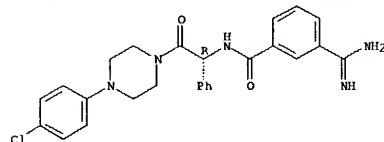
Absolute stereochemistry.



RN 221237-81-6 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

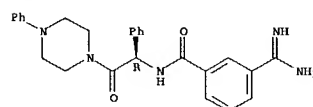
Absolute stereochemistry.

L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



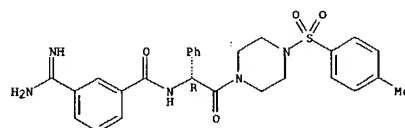
RN 221237-90-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-oxo-1-phenyl-2-(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221237-98-5 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-methylphenyl)sulfonyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

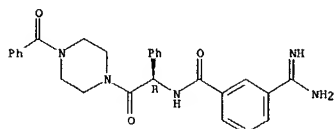
Absolute stereochemistry.



RN 221238-06-8 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-(4-benzoyl-1-piperazinyl)-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

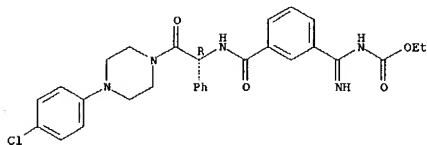
Absolute stereochemistry.

L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



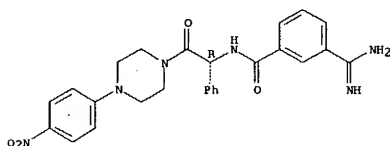
RN 221240-62-6 CAPLUS
 CN Carbamic acid, [3-[[[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221240-91-1 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-nitrophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

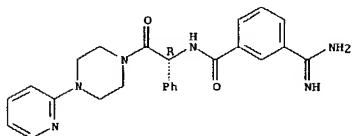
Absolute stereochemistry.



RN 221240-97-7 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-aminophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

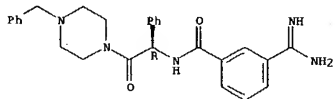
Absolute stereochemistry.

L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



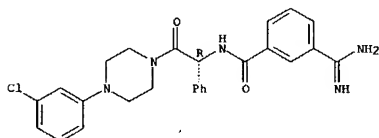
RN 221241-19-6 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-24-3 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(3-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

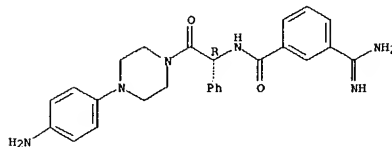
Absolute stereochemistry.



RN 221241-29-8 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(2-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

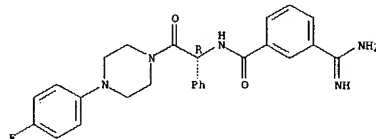
Absolute stereochemistry.

L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



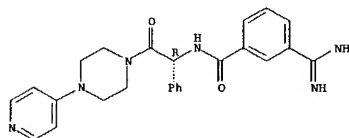
RN 221241-03-8 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(4-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221241-09-4 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

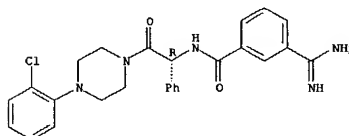
Absolute stereochemistry.



RN 221241-14-1 CAPLUS
 CN Benzamide, 3-(aminoiminomethyl)-N-[(1R)-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

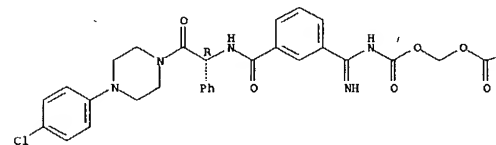
L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



RN 221242-18-8 CAPLUS
 CN Propanoic acid, 2,2-dimethyl-, [3-[[[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]amino]carbonyl]oxymethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



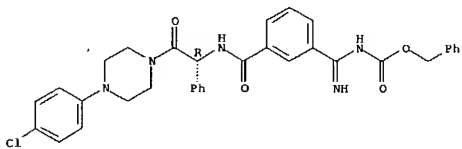
PAGE 1-B

Bu-t

RN 221242-26-8 CAPLUS
 CN Carbamic acid, [3-[[[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

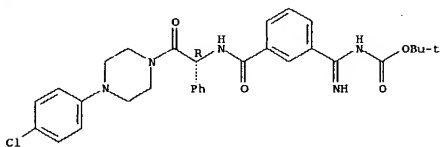
Absolute stereochemistry.

L4 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)



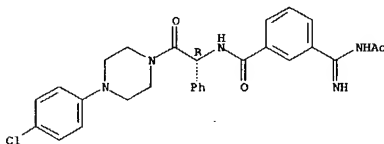
RN 221242-30-4 CAPLUS
 CN Carbanic acid, [[3-[[[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]amino]carbonyl]phenyl]iminomethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221242-34-8 CAPLUS
 CN Benzamide, 3-[(acetylamino)iminomethyl]-N-[(1R)-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

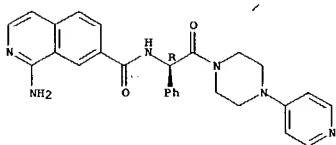
AB Aminoisoquinoline amino acid derivs. 1 [R1 = H, halo, cyano, nitro, hydroxy, amino, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, thiol, alkylthio, aminosulfonyl, alkoxyalkyl, alkoxyalkyl, acyloxymethoxycarbonyl or alkyldiamino (optionally substituted); R2 = H, halo, Me, amino, hydroxy, or oxo; and R is X-X-Y(R7)-L-Lp(D)n, where each X independently is a C, N, O or S atom or a CO, CR1, CR12 or NR1 group; Y is a nitrogen atom or a CR1 group or Y and L taken together form a cyclic group; R7 is a lipophilic group selected from alkyl, alkenyl, mono- or bi-cycloalkyl, aryl, heteroaryl, mono- or bicycloalkylalkyl, mono- or bicycloalkylalkenyl, aralkyl, heteroaryl-alkyl, acylalkenyl, heteroarylalkenyl, all optionally substituted by a group R1; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Lp is a lipophilic organic group selected from alkyl, heterocyclic, alkenyl, alkaryl, cycloalkyl, polycycloalkyl, cycloalkenyl, aryl, aralkyl or haloalkyl group or a combination of two or more such groups optionally substituted by one or more of oxa, thia, aza or R1 groups; D is a hydrogen bond donor group; and n is 0, 1, or 2] or their 3,4-dihydro derivs. were prepared as serine protease inhibitors. Thus, 1-aminoisoquinolin-7-yl-D-phenylglycine-4-methoxybenzamide was prepared by amidation of Boc-D-phenylglycine with 4-methylbenzylamine, followed by deprotection and coupling with 1-aminoisoquinoline-7-carboxylic acid trifluoroacetate.

IT 221049-85-OP 221049-86-1P 221049-87-2P
 221049-88-3P 221049-89-4P 221049-90-7P
 221049-91-8P 221049-92-9P 221049-93-0P
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 221050-62-0P

RI: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aminoisoquinoline peptidyl derivs. as serine protease inhibitors)

RN 221049-85-0 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221049-86-1 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(4-aminophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Habte

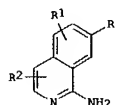
L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN

ACCESSION NUMBER: 1999:184268 CAPLUS
 DOCUMENT NUMBER: 130:223587
 TITLE: 1-amino-7-isoquinoline derivatives as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowicz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John; Camp, Nicholas Paul; Crew, Andrew Philip Austin
 PATENT ASSIGNEE(S): Proteus Molecular Design Ltd., UK
 SOURCE: PCT Int. Appl., 89 pp.
 CODEN: PIXXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

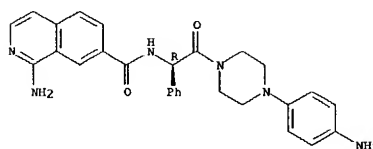
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AU 9888753	A1	19990322	AU 1998-88753	19980828
EP 1012166	A1	20000628	EP 1998-940425	19980828
EP 1012166	B1	20031029		
R: CH, DE, ES, FR, GB, IT, LI, NL				
US 6262069	B1	20010717	US 2000-485677	20000225
US 2002040144	A1	20020404	US 2001-865418	20010529
US 6420438	B1	20020716	US 2000-865418	20010529
US 2003216403	A1	20031120	US 2003-296245	20030514
PRIORITY APPLN. INFO.:			GB 1997-18392	A 19970829
			GB 1998-3173	A 19980213
			WO 1998-GB2600	W 19980828
			US 2000-485677	A1 20000225
			WO 2001-GB2566	W 20010612

OTHER SOURCE(S): MARPAT 130:223587
 GI



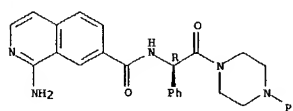
L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS ON STN (Continued)

Absolute stereochemistry.



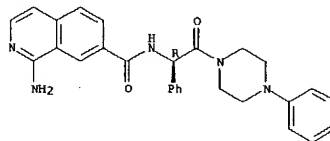
RN 221049-87-2 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221049-88-3 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

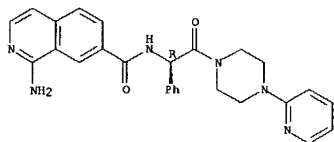


RN 221049-89-4 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

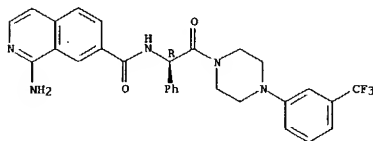
11/23/2004

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)



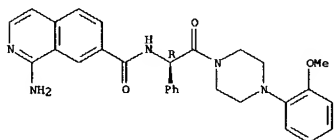
RN 221049-90-7 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221049-91-8 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-[2-methoxyphenyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

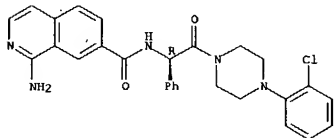
Absolute stereochemistry.



RN 221049-92-9 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-methylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

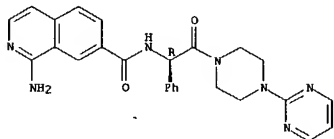
Absolute stereochemistry.

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)



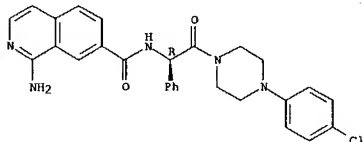
RN 221049-96-3 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-pyrimidinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-11-9 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

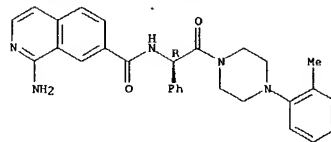
Absolute stereochemistry.



RN 221050-12-0 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

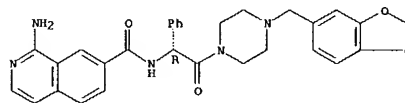
Absolute stereochemistry.

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)



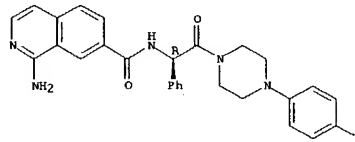
RN 221049-93-0 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221049-94-1 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

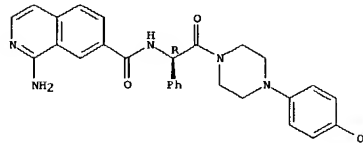
Absolute stereochemistry.



RN 221049-95-2 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(2-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

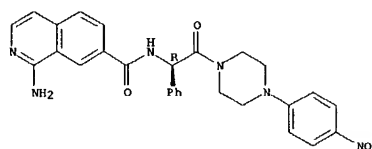
Absolute stereochemistry.

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STM (Continued)



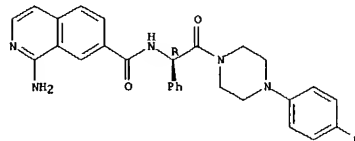
RN 221050-13-1 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-nitrophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-14-2 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-methylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

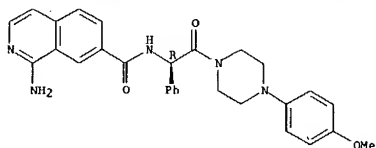
Absolute stereochemistry.



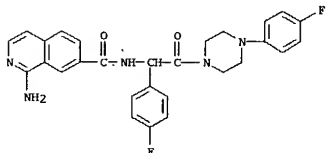
RN 221050-15-3 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

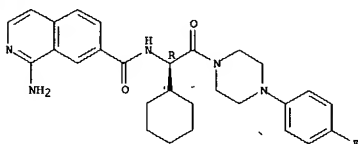


RN 221050-16-4 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(4-fluorophenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 221050-17-5 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-1-cyclohexyl-2-[4-(4-fluorophenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

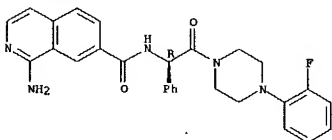
Absolute stereochemistry.



RN 221050-18-6 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(3-chlorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

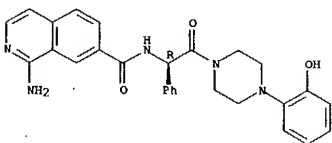
Absolute stereochemistry.

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



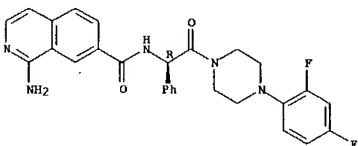
RN 221050-22-2 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2-hydroxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-23-3 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,4-difluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

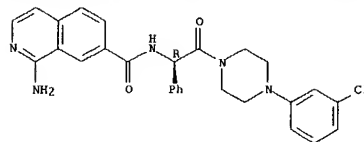
Absolute stereochemistry.



RN 221050-24-4 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,3-dimethylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

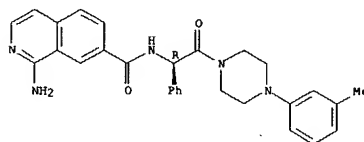
Absolute stereochemistry.

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



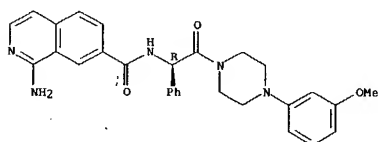
RN 221050-19-7 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(3-methylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-20-0 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(3-methoxyphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

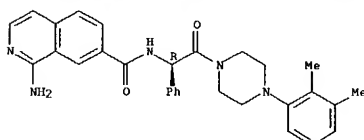
Absolute stereochemistry.



RN 221050-21-1 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2-fluorophenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

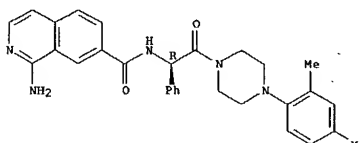
Absolute stereochemistry.

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



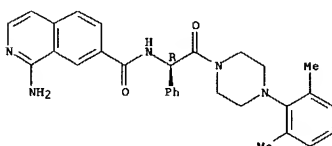
RN 221050-25-5 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,4-dimethylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-26-6 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,6-dimethylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

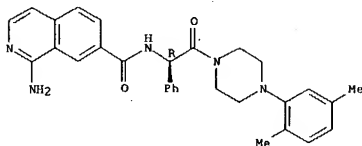
Absolute stereochemistry.



RN 221050-27-7 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-[4-(2,5-dimethylphenyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

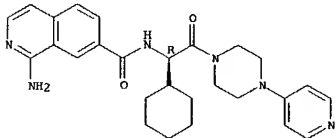
Absolute stereochemistry.

L4 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



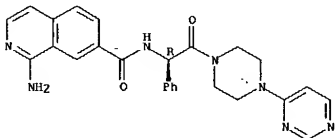
RN 221050-28-8 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-1-cyclohexyl-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 221050-62-0 CAPLUS
 CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyrimidinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

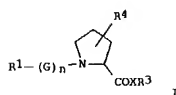


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:65811 CAPLUS
 DOCUMENT NUMBER: 128:136515
 TITLE: Bone resorption inhibitors
 INVENTOR(S): Aibe, Kazuhiko; Takebayashi, Yukihiko; Ishii, Yasutaka; Noshiro, Osamu; Noda, Ichio; Igarashi, Susumu
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9801133	A1	19980115	WO 1997-JP2357	19970708
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9733596	A1	19980202	AU 1997-33596	19970708
PRIORITY APPLN. INFO.:			JP 1996-177955	19960708
			WO 1997-JP2357	19970708
OTHER SOURCE(S):		MARPAT 128:136515		
GI				



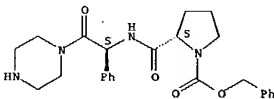
AB Drugs, in particular, bone resorption inhibitors containing as the active ingredient compds. having selective cathepsin K inhibitory effects, among all, proline derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof, wherein each symbol has the meaning as specified below: X: a moiety (except for the C-terminal carbonyl group) of an amino acid residue with its side chain optionally protected; R1: an amino-protective group; G: a glycine residue; n: 0 or 1; R3: a group inhibiting the activity of the SH group of cysteine protease; and R4: hydrogen, hydroxy or Ph.

IT 202281-95-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (bone resorption inhibitors)

L4 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 202281-95-6 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[2-oxo-1-phenyl-2-(1-piperazinyl)ethyl]amino]carbonyl]-, phenylmethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

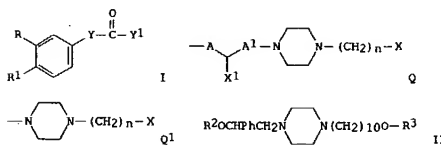


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:656227 CAPLUS
 DOCUMENT NUMBER: 115:256227
 TITLE: Preparation of alkylpiperazines as cyclooxygenase and lipoxigenase inhibitors
 INVENTOR(S): Suzuki, Masahiko; Mozaki, Kenji; Kajitani, Makoto; Yasumoto, Mitsugu; Ono, Naohiko; Shindo, Takashi
 PATENT ASSIGNEE(S): Taiho Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 97 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9111444	A1	19910808	WO 1991-JP60	19910119
W:	AU, CA, JP, KR, US			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE			
CA 2050492	AA	19910727	CA 1991-2050492	19910119
CA 2050492	C	19961217		
AU 9170576	A1	19910821	AU 1991-70576	19910119
AU 637670	B2	19930603		
EP 465659	A1	19920115	EP 1991-902742	19910119
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE			
US 5182284	A	19930126	US 1991-761974	19910925
PRIORITY APPLN. INFO.:			JP 1990-16583	19900126
			WO 1991-JP60	19910119
OTHER SOURCE(S):		MARPAT 115:256227		
GI				



AB The title compds. [I: R, R1 = OH, alkoxy, halo, H, dialkyl phosphate residue, etc.; or R1 = OCH2O; Y = CH2CH, (CH2)m; m = 0, 1; Y1 = Q, Q1; A = NH, O; A1 = CH2, CO; n = 6-20; X = OH, H, alkoxycarbonyl; X1 = H, (halo)phenyl; however, when Y1 = Q1, R = R1 = OH] and their pharmaceutically acceptable salts, inhibitors of particularly 5-lipoxygenase, useful for treatment of asthma, etc., are prepared. Stirring a mixt. of (hydroxyethyl)piperazine II [R2 = H, R3 = tetrahydro-2-pyranyl] with cinnamic acid in CH2Cl2 containing 4-(dimethylamino)pyridine and dicyclohexylcarbodiimide at room temperature for 24 h gave, after deprotection

by refluxing in MeOH containing p-MeC6H4CO3H, 69% title compound II [R2 = cinnamoyl, R3 = H]. The IC50 values for the title compound II [R2 = 3,4-(OH)2C6H4CH2CO, R3 = H] are 9.9 and 0.32 μM against cyclooxygenase and 5-lipoxygenase, resp. Tablets, granules, capsules, etc., containing I

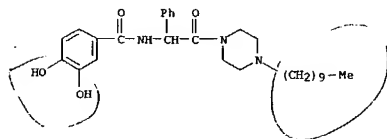
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Habte

L4 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
were formulated.
IT 137424-14-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cyclooxygenase and lipoxigenase inhibitors)
RN 137424-14-7 CAPLUS
CN Benzamide, N-[2-(4-decyl-1-piperazinyl)-2-oxo-1-phenylethyl]-3,4-dihydroxy-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 137424-13-6
CMF C29 H41 N3 O4



CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

